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NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	DEC 01	ChemPort single article sales feature unavailable
NEWS	3	JUN 01	CAS REGISTRY Source of Registration (SR) searching enhanced on STN
NEWS	4	JUN 26	NUTRACEUT and PHARMAML no longer updated
NEWS	5	JUN 29	IMSCOPROFILE now reloaded monthly
NEWS	6	JUN 29	EPFULL adds Simultaneous Left and Right Truncation (SLART) to AB, MCLM, and TI fields
NEWS	7	JUL 09	PATDPAFULL adds Simultaneous Left and Right Truncation (SLART) to AB, CLM, MCLM, and TI fields
NEWS	8	JUL 14	USGENE enhances coverage of patent sequence location (PSL) data
NEWS	9	JUL 27	CA/CAplus enhanced with new citing references
NEWS	10	JUL 16	GBFULL adds patent backfile data to 1855
NEWS	11	JUL 21	USGENE adds bibliographic and sequence information
NEWS	12	JUL 28	EPFULL adds first-page images and applicant-cited references
NEWS	13	JUL 28	INPADOCDB and INPAFAMDB add Russian legal status data
NEWS	14	AUG 08	Improve STN by completing a survey and be entered to win a gift card
NEWS	15	AUG 10	Time limit for inactive STN sessions doubles to 40 minutes

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AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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FILE 'HOME' ENTERED AT 13:55:47 ON 14 AUG 2009

=> FIL REG

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 13:56:13 ON 14 AUG 2009

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 13 AUG 2009 HIGHEST RN 1174270-19-9
DICTIONARY FILE UPDATES: 13 AUG 2009 HIGHEST RN 1174270-19-9

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http://www.cas.org/support/stngen/stndoc/properties.html

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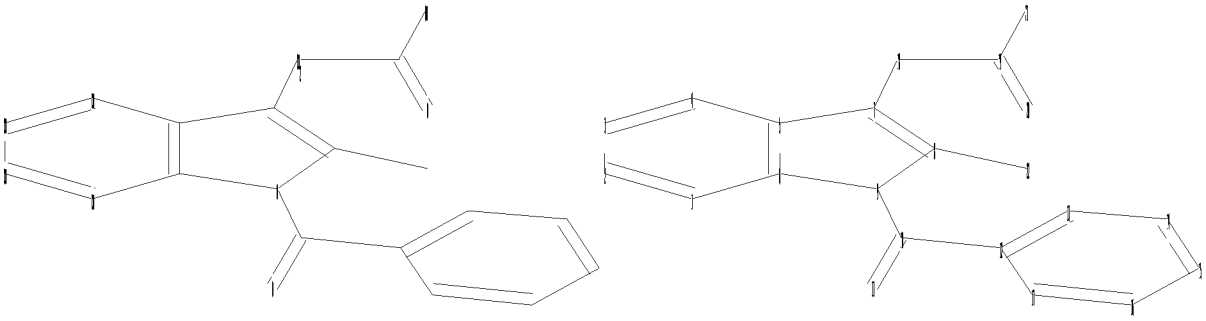
Uploading C:\Program Files\STNEXP\Queries\10541429\INDOELS.str



chain nodes :
10 11 18 19 20 21 22
ring nodes :
1 2 3 4 5 6 7 8 9 12 13 14 15 16 17
chain bonds :
7-18 8-22 9-10 10-11 10-12 18-19 19-20 19-21
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 12-13 12-17 13-14 14-15 15-16
16-17
exact/norm bonds :
5-7 6-9 7-8 8-9 9-10 10-11
exact bonds :
7-18 8-22 10-12 18-19
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-17 13-14 14-15 15-16 16-17 19-20
19-21

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS

L1 STRUCTURE UPLOADED

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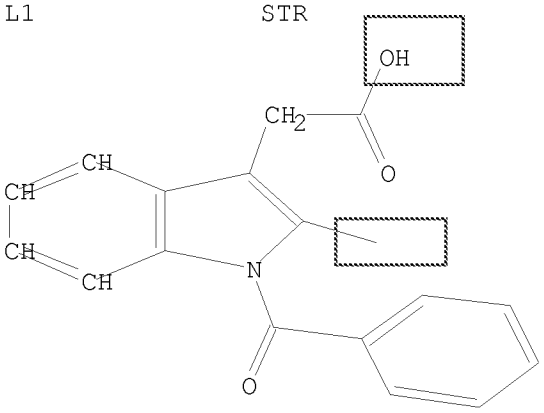
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> S L1 FULL
FULL SEARCH INITIATED 13:56:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1754 TO ITERATE

100.0% PROCESSED 1754 ITERATIONS 123 ANSWERS
SEARCH TIME: 00.00.01

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L2          123 SEA SSS FUL L1

=> FIL CAPLUS
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                        ENTRY    SESSION
FULL ESTIMATED COST                                    185.88     186.10

FILE 'CAPLUS' ENTERED AT 13:56:37 ON 14 AUG 2009
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FILE COVERS 1907 - 14 Aug 2009  VOL 151 ISS 8
FILE LAST UPDATED: 13 Aug 2009  (20090813/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Jun 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Jun 2009

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/CAplus family
of databases have been updated to include new citing references
information.  This enhancement may impact record import into
database management software.  For additional information, refer
to NEWS 9.

=> S L2
L3          29 L2

=> D IBIB 1-10
```

L3 ANSWER 1 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:854353 CAPLUS
DOCUMENT NUMBER: 151:164334
TITLE: Indole compounds and pharmaceutical compositions containing them for treatment of diseases through thyroid hormone receptor-mediated control of cell functions
INVENTOR(S): Maeda, Koji; Asano, Yukiyasu; Tsuruta, Nobuaki; Murase, Toru; Tajima, Nobumitsu
PATENT ASSIGNEE(S): Sanwa Kagaku Kenkyusho Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 60pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2009155261	A	20090716	JP 2007-334943	20071226

PRIORITY APPLN. INFO.: JP 2007-334943 20071226

L3 ANSWER 2 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1075559 CAPLUS
DOCUMENT NUMBER: 143:367205
TITLE: Preparation of compounds, especially indoles and biphenyls, useful for treating neurodegenerative disorders, particularly Alzheimer's disease and other amyloid β42 protein-related disorders
INVENTOR(S): Slade, Rachel M.; Weiner, Warren S.; Delmar, Eric G.; Klimova, Yevgeniya I.; Trovato, Richard
PATENT ASSIGNEE(S): Myriad Genetics, Inc., USA
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005092062	A2	20051006	WO 2005-US9595	20050321
WO 2005092062	A3	20060803		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20090155903	A1	20090618	US 2008-593180	20081114

PRIORITY APPLN. INFO.: US 2004-554571P P 20040319
US 2004-590259P P 20040722
WO 2005-US9595 W 20050321

OTHER SOURCE(S): CASREACT 143:367205; MARPAT 143:367205
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L3 ANSWER 3 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:411096 CAPLUS
DOCUMENT NUMBER: 143:52929
TITLE: Development of a prostaglandin D2 receptor antagonist: discovery of a new chemical lead
AUTHOR(S): Torisu, Kazuhiko; Kobayashi, Kaoru; Iwahashi, Maki; Egashira, Hiromu; Nakai, Yoshihiko; Okada, Yutaka; Nanbu, Fumio; Ohuchida, Shuichi; Nakai, Hisao; Toda, Masaaki
CORPORATE SOURCE: Minase Research Institute, Ono Pharmaceutical Co., Ltd., Mishima, Osaka, 618-8585, Japan
SOURCE: European Journal of Medicinal Chemistry (2005), 40(5), 505-519
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:52929
OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L3 ANSWER 4 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:756687 CAPLUS
DOCUMENT NUMBER: 141:277487
TITLE: Preparation of indole derivative compounds as CRTH2 receptor antagonists, DP receptor antagonists
INVENTOR(S): Iwahashi, Maki; Naganawa, Atsushi; Nishiyama, Toshihiko; Nagase, Toshihiko; Kobayashi, Kaoru; Nambu, Fumio
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 204 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004078719	A1	20040916	WO 2004-JP2813	20040305
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1600440	A1	20051130	EP 2004-717836	20040305
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
US 20060089353	A1	20060427	US 2005-548089	20050906

PRIORITY APPLN. INFO.: JP 2003-59459 A 20030306
WO 2004-JP2813 W 20040305

OTHER SOURCE(S): MARPAT 141:277487
OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L3 ANSWER 5 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:675710 CAPLUS
DOCUMENT NUMBER: 141:190512
TITLE: A preparation of 2-arylacetic acid derivatives, useful for the treatment of IL-8 mediated diseases
INVENTOR(S): Moriconi, Alessio; Allegretti, Marcello; Bertini, Riccardo; Cesta, Maria Candida; Bizzarri, Cinzia; Colotta, Francesco
PATENT ASSIGNEE(S): Dompe' S.p.A., Italy
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004069782	A2	20040819	WO 2004-EP1021	20040204
WO 2004069782	A3	20040916		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004210082	A1	20040819	AU 2004-210082	20040204
CA 2511582	A1	20040819	CA 2004-2511582	20040204
EP 1590314	A2	20051102	EP 2004-707926	20040204
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CN 1768026	A	20060503	CN 2004-80008741	20040204
JP 2006516592	T	20060706	JP 2006-501731	20040204
RU 2356887	C2	20090527	RU 2005-127777	20040204
US 20060223842	A1	20061005	US 2005-541429	20050705
NO 2005004017	A	20050830	NO 2005-4017	20050830

PRIORITY APPLN. INFO.: GB 2003-2716 A 20030208
WO 2004-EP1021 W 20040204

OTHER SOURCE(S): MARPAT 141:190512
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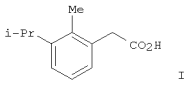

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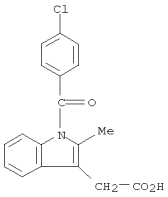
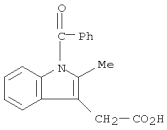
L3 ANSWER 5 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

AB The invention relates to a preparation of 2-arylacetic acid derivs. of formula A-CH2C(O)-Y [wherein: A is a 5 to 6 membered (hetero)aromatic ring where heteroatom is selected from N, O, S, etc.; the 5-6 membered (hetero)aromatic ring is optionally fused with a second ring; Y is NH2, NH-(cyclo)alkyl, or NH-cycloalkenyl, etc.], useful in inhibiting chemotactic activation of neutrophils (PMN leukocytes) induced by the interaction of Interleukin-8 (IL-8) with CXCR1 and CXCR2 membrane receptors. The compds. are used for the prevention and treatment of pathologies deriving from said activation.
In particular, o-substituted arylacetic acid derivs., such as amides and sulfonamides, lack cyclo-oxygenase inhibition activity and are particularly useful in the treatment of neutrophil-dependent pathologies such as psoriasis, ulcerative colitis, or melanoma, etc. For instance, prepared in the example 2 acetic acid derivative I (10-8M) showed 62% (IL-8) and 5% (GRO-α) inhibitory activity on CXCR1 and CXCR2 receptors.
IT 16390-26-4P 16401-80-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylacetic acids useful for the treatment of IL-8 mediated diseases)
RN 16390-26-4 CAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-2-methyl- (CA INDEX NAME)



RN 16401-80-2 CAPLUS
CN 1H-Indole-3-acetic acid, 1-benzoyl-2-methyl- (CA INDEX NAME)

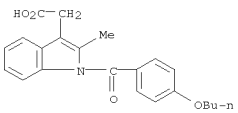
L3 ANSWER 5 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:626197 CAPLUS
DOCUMENT NUMBER: 141:295808
TITLE: Discovery of new chemical leads for prostaglandin D2 receptor antagonists
AUTHOR(S): Torisu, Kazuhiko; Kobayashi, Kaoru; Iwahashi, Maki; Egashira, Hiromu; Nakai, Yoshihiko; Okada, Yutaka; Nanbu, Fumio; Ohuchida, Shuichi; Nakai, Hisao; Toda, Masaaki
CORPORATE SOURCE: Minase Research Institute, Ono Pharmaceutical Co., Ltd., Mishima, Osaka, 618-8585, Japan
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(17), 4557-4562
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:295808

AB A series of indomethacin analogs were synthesized and biol. evaluated. Among the compds. tested, N-(p-butoxy)benzoyl-2-methylindole-3-acetic acid was discovered as a new chemical lead for a prostaglandin D2 (PGD2) receptor antagonist. Structure-activity relationship data are also presented.
IT 764658-21-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of 1-aroylindole-3-acetic acids as prostaglandin D2 receptor antagonists)
RN 764658-21-1 CAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-butoxybenzoyl)-2-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:221659 CAPLUS
DOCUMENT NUMBER: 138:255238
TITLE: Preparation of indole derivatives as DP receptor antagonists
INVENTOR(S): Torisu, Kazuhiko; Iwahashi, Maki; Kobayashi, Kaoru; Nambu, Fumio
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 229 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022814	A1	20030320	WO 2002-JP9078	20020906
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2459515	A1	20030320	CA 2002-2459515	20020906
AU 2002332147	A1	20030324	AU 2002-332147	20020906
EP 1424335	A1	20040602	EP 2002-767909	20020906
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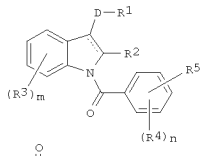
US 20050004097	A1	20050106	US 2004-488835	20040308
US 7135495	B2	20061114		
US 20060194864	A1	20060831	US 2006-412879	20060428
US 7291644	B2	20071106		

PRIORITY APPLN. INFO.:

JP 2001-271282	A	20010907
JP 2000-64696	A	20000309
JP 2000-231857	A	20000731
WO 2002-JP9078	W	20020906
US 2004-488835	A3	20040308

OTHER SOURCE(S): MARPAT 138:255238
GI

L3 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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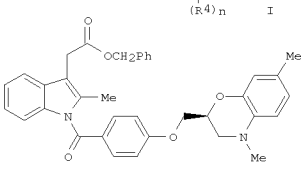


II

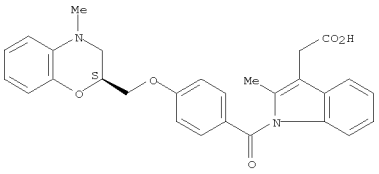
AB The title indole compds., substituted by dihydrobenzoxazinyl, benzodioxanyl, etc., with general formula of I [wherein R1 = COR6 or CH2OR7; R6 = OH, (un)substituted amino, alkoxy, or alkenyloxy; R7 = H or acyl; D = a single bond, alkylene, alkenylene, or O-alkylene; R2 = alkyl, alkoxy, halo, trihalomethyl, CN, or OH; R3 and R4 = independently = H, alkoxy, halo, NO2, trihalomethyl, CN, OH, trihalomethoxy, (un)substituted amino, or alkyl; m = 1-4; n = 1-4; R5 = G-X, substituted alkyl, or alkoxy; G = a single bond, diazo, (un)substituted alkylene, alkenylene, amido, amino-carbonyl, SO2-amino, or amino-SO2; X = (hetero)cyclyl] and pharmaceutically acceptable salts thereof are prepared as prostaglandin D2 (PGD2) receptor antagonists. For example, benzyl 2-[1-(4-hydroxybenzoyl)-2-methylindol-3-yl]acetate (prepn given) was coupled with (2S)-2-hydroxymethyl-4,7-dimethyl-3,4-dihydro-2H-1,4-benzoxazine in THF in the presence of Ph3P and di-Et azodicarboxylate to afford the indole II. II showed Ki of 0.0074 μM against DP receptor in rat. I are useful in preventing/treating allergic diseases, diseases associated with itching, diseases accompanied by, caused by behaviors associating with itching, inflammation, chronic obstructive pulmonary disease, ischemic reperfusion injury, cerebrovascular diseases, rheumatoid arthritis-complicated pleuritis, ulcerative colitis, etc. (no data). Formulations containing I as an active ingredient were also described.

IT 502605-84-7P 502605-98-3P 502605-99-4P
502606-00-0P 502606-01-1P 502606-02-2P
502606-03-3P 502606-04-4P 502606-05-5P
502606-06-6P 502606-07-7P 502606-08-8P
502606-54-4P 502606-59-9P 502606-60-2P
502606-61-3P 502606-63-5P 502606-64-6P

L3 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
502606-65-7P 502606-66-8P 502606-67-9P
502606-75-9P 502606-82-8P 502606-84-0P
502607-09-2P 502607-11-6P 502607-13-8P
502607-14-9P 502607-16-1P 502607-18-3P
502607-20-7P 502607-22-9P 502607-23-0P
502607-24-1P 502607-26-3P 502607-28-5P
502607-30-9P 502607-31-0P 502607-32-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(DP receptor antagonist; prepn. of indole derivs. as DP receptor antagonists)

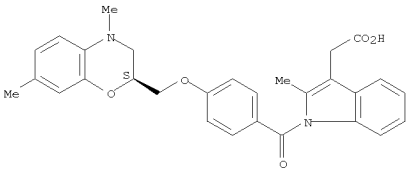
RN 502605-84-7 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 502605-98-3 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4,7-dimethyl-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl- (CA INDEX NAME)

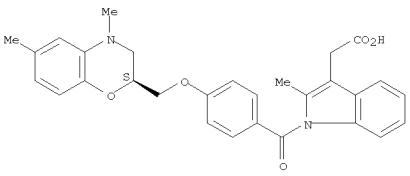
Absolute stereochemistry.



RN 502605-99-4 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4,6-dimethyl-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl- (CA INDEX NAME)

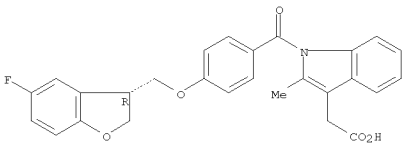
Absolute stereochemistry.

L3 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

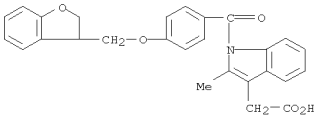


RN 502606-00-0 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(3R)-5-fluoro-2,3-dihydro-3-benzofuranyl]methoxy]benzoyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

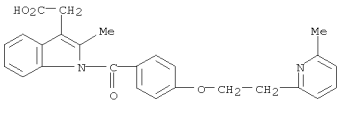


RN 502606-01-1 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[(2,3-dihydro-3-benzofuranyl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)

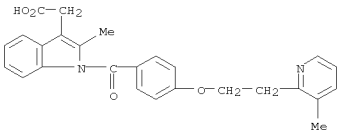


RN 502606-02-2 CAPLUS
CN 1H-Indole-3-acetic acid, 2-methyl-1-[4-[2-(6-methyl-2-pyridinyl)ethoxy]benzoyl]- (CA INDEX NAME)

L3 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

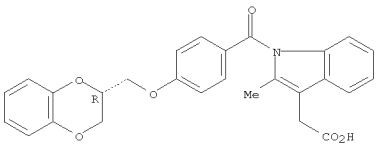


RN 502606-03-3 CAPLUS
CN 1H-Indole-3-acetic acid, 2-methyl-1-[4-[2-(3-methyl-2-pyridinyl)ethoxy]benzoyl]- (CA INDEX NAME)



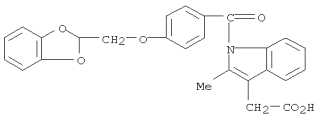
RN 502606-04-4 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(2R)-2,3-dihydro-1,4-benzodioxin-2-yl]methoxy]benzoyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



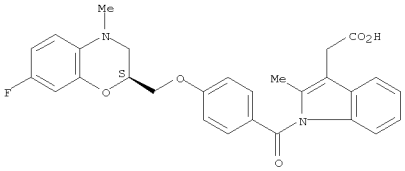
RN 502606-05-5 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-(1,3-benzodioxol-2-ylmethoxy)benzoyl]-2-methyl- (CA INDEX NAME)

L3 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



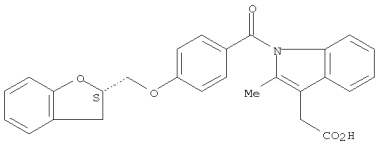
RN 502606-06-6 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-7-fluoro-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 502606-07-7 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-2,3-dihydro-2-benzofuranyl]methoxy]benzoyl]-2-methyl- (CA INDEX NAME)

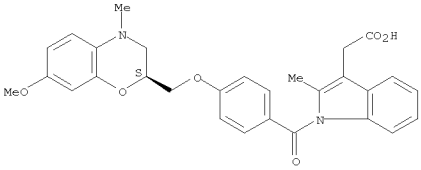
Absolute stereochemistry.



RN 502606-08-8 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-7-methoxy-4-methyl-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl- (CA INDEX NAME)

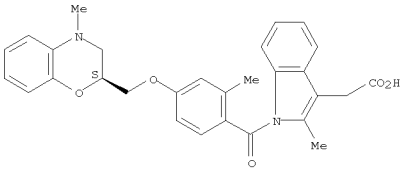
L3 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

Absolute stereochemistry.



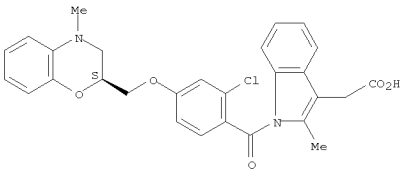
RN 502606-54-4 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl]methoxy]-2-methylbenzoyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 502606-59-9 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[2-chloro-4-[[(2S)-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl- (CA INDEX NAME)

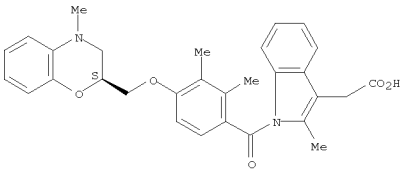
Absolute stereochemistry.



L3 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

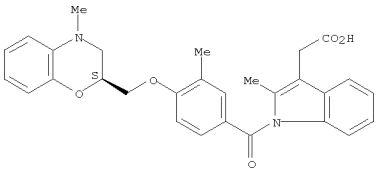
RN 502606-60-2 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl]methoxy]-2,3-dimethylbenzoyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 502606-61-3 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl]methoxy]-3-methylbenzoyl]-2-methyl- (CA INDEX NAME)

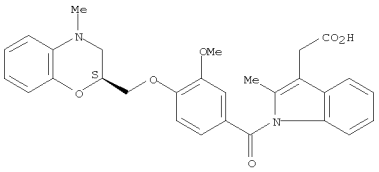
Absolute stereochemistry.



RN 502606-63-5 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl]methoxy]-3-methoxybenzoyl]-2-methyl- (CA INDEX NAME)

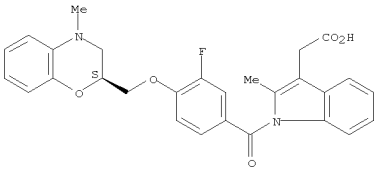
Absolute stereochemistry.

L3 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 502606-64-6 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl]methoxy]-3-fluorobenzoyl]-2-methyl- (CA INDEX NAME)

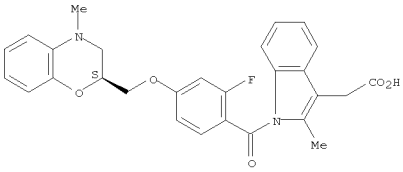
Absolute stereochemistry.



RN 502606-65-7 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl]methoxy]-2-methoxybenzoyl]-2-methyl- (CA INDEX NAME)

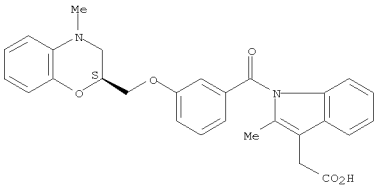
Absolute stereochemistry.

L3 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



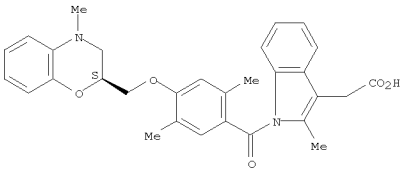
RN 502606-75-9 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[3-[[(2S)-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



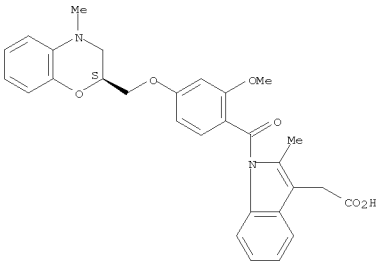
RN 502606-82-8 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl]methoxy]-2,5-dimethylbenzoyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



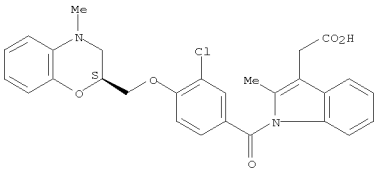
RN 502606-84-0 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4-methyl-2H-1,4-

L3 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 502606-66-8 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[3-chloro-4-[[(2S)-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

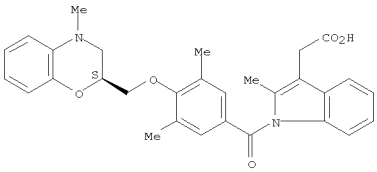


RN 502606-67-9 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl]methoxy]-2-fluorobenzoyl]-2-methyl- (CA INDEX NAME)

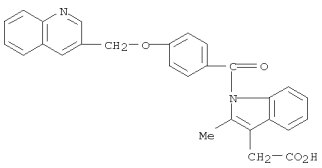
Absolute stereochemistry.

L3 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
benzoxazin-2-yl]methoxy]-3,5-dimethylbenzoyl]-2-methyl- (CA INDEX NAME)

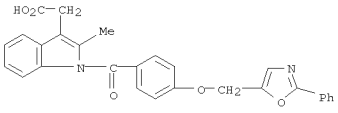
Absolute stereochemistry.



RN 502607-09-2 CAPLUS
CN 1H-Indole-3-acetic acid, 2-methyl-1-[4-(3-quinolinylmethoxy)benzoyl]-(CA INDEX NAME)

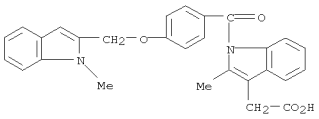


RN 502607-11-6 CAPLUS
CN 1H-Indole-3-acetic acid, 2-methyl-1-[4-[(2-phenyl-5-oxazolyl)methoxy]benzoyl]- (CA INDEX NAME)



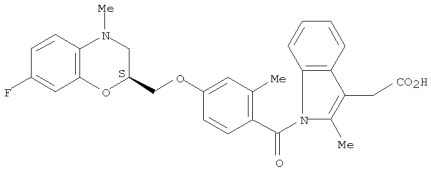
RN 502607-13-8 CAPLUS
CN 1H-Indole-3-acetic acid, 2-methyl-1-[4-[(1-methyl-1H-indol-2-yl)methoxy]benzoyl]- (CA INDEX NAME)

L3 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



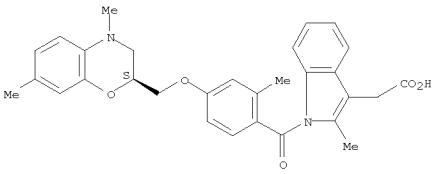
RN 502607-14-9 CAPLUS
CN 1H-Indole-3-acetic acid,
1-[4-[[(2S)-7-fluoro-3,4-dihydro-4-methyl-2H-1,4-
benzoxazin-2-yl]methoxy]-2-methylbenzoyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 502607-16-1 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4,7-dimethyl-2H-1,4-
benzoxazin-2-yl]methoxy]-2-methylbenzoyl]-2-methyl- (CA INDEX NAME)

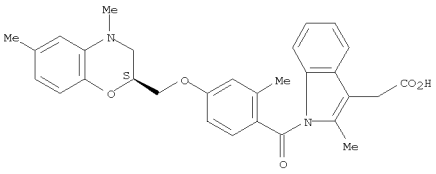
Absolute stereochemistry.



RN 502607-18-3 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4,6-dimethyl-2H-1,4-

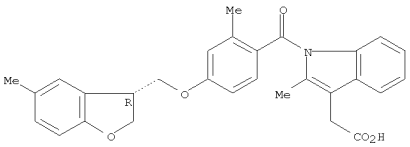
L3 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
benzoxazin-2-yl]methoxy]-2-methylbenzoyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



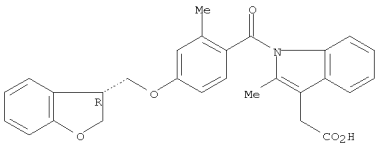
RN 502607-20-7 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(3R)-2,3-dihydro-5-methyl-3-
benzofuranyl]methoxy]-2-methylbenzoyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



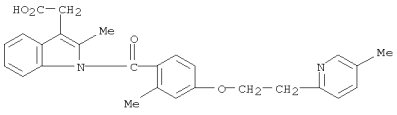
RN 502607-22-9 CAPLUS
CN 1H-Indole-3-acetic acid,
1-[4-[[(3R)-2,3-dihydro-3-benzofuranyl]methoxy]-2-
methylbenzoyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

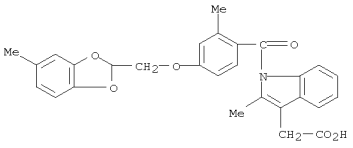


L3 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 502607-23-0 CAPLUS
CN 1H-Indole-3-acetic acid, 2-methyl-1-[2-methyl-4-[2-(5-methyl-2-
pyridinyl)ethoxy]benzoyl]- (CA INDEX NAME)

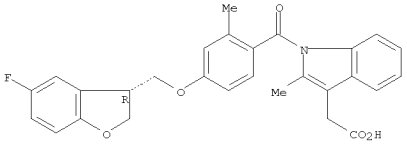


RN 502607-24-1 CAPLUS
CN 1H-Indole-3-acetic acid,
2-methyl-1-[2-methyl-4-[(5-methyl-1,3-benzodioxol-
2-yl)methoxy]benzoyl]- (CA INDEX NAME)



RN 502607-26-3 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(3R)-5-fluoro-2,3-dihydro-3-
benzofuranyl]methoxy]-2-methylbenzoyl]-2-methyl- (CA INDEX NAME)

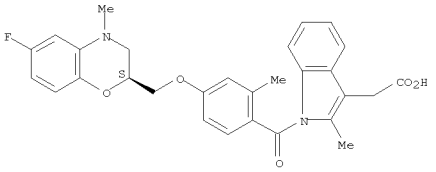
Absolute stereochemistry.



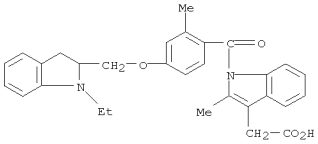
RN 502607-28-5 CAPLUS
CN 1H-Indole-3-acetic acid,
1-[4-[[(2S)-6-fluoro-3,4-dihydro-4-methyl-2H-1,4-
benzoxazin-2-yl]methoxy]-2-methylbenzoyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

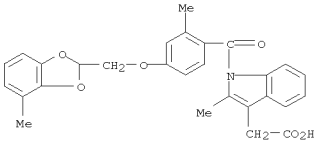
L3 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 502607-30-9 CAPLUS
CN 1H-Indole-3-acetic acid,
1-[4-[(1-ethyl-2,3-dihydro-1H-indol-2-yl)methoxy]-
2-methylbenzoyl]-2-methyl- (CA INDEX NAME)



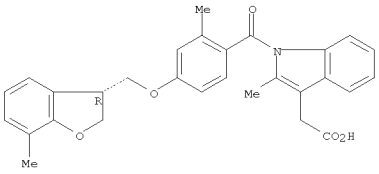
RN 502607-31-0 CAPLUS
CN 1H-Indole-3-acetic acid,
2-methyl-1-[2-methyl-4-[(4-methyl-1,3-benzodioxol-
2-yl)methoxy]benzoyl]- (CA INDEX NAME)



RN 502607-32-1 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(3R)-2,3-dihydro-7-methyl-3-
benzofuranyl]methoxy]-2-methylbenzoyl]-2-methyl- (CA INDEX NAME)

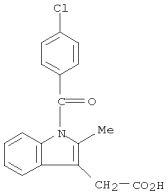
Absolute stereochemistry.

L3 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

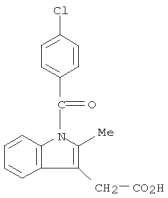
L3 ANSWER 8 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:903334 CAPLUS
DOCUMENT NUMBER: 138:287576
TITLE: Synthesis and anti-inflammatory activity of substituted 3-methyl 5-pyrazolones
AUTHOR(S): Siddiqui, Anees A.; Khan, Suroor A.; Bhatt, Shikha; Ahmad
CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Faculty of Pharmacy, New Delhi, 110 062, India
SOURCE: Oriental Journal of Chemistry (2002), 18(2), 375-376
CODEN: OJCHEG; ISSN: 0970-020X
PUBLISHER: Oriental Scientific Publishing Co.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:287576
AB 1-Acyl-3-methyl-5-pyrazolones were prepared by converting the carboxylic acids to their hydrazides and cyclizing these with MeCOCH2CO2Et and were and showed anti-inflammatory activity nearly equal to that of indomethancin in the rat paw edema test.
IT 16390-26-4, 2-Methyl-1-(4-chlorobenzoyl)-3-indoleacetic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and antiinflammatory activity of 1-acyl-3-methyl-5-pyrazolones)
RN 16390-26-4 CAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-2-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:561125 CAPLUS
DOCUMENT NUMBER: 138:139706
TITLE: Structure-activity relationship of indomethacin analogues for MRP-1, COX-1 and COX-2 inhibition identification of novel chemotherapeutic drug resistance modulators
AUTHOR(S): Touhey, S.; O'Connor, R.; Fitzmaurice, S.; Maguire, A.; Clynes, M.
CORPORATE SOURCE: Dublin City University, The National Cell and Tissue Culture Centre, Glasneuin, Dublin, Ire.
SOURCE: European Journal of Cancer (2002), 38(12), 1661-1670
CODEN: EJCAEL; ISSN: 0959-8049
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The authors report the screening of analogs of indomethacin to investigate the structure-activity relationship (SAR) of indomethacin-mediated multidrug resistance associated protein-1 (MRP-1) inhibition. By examining the activities of compds. with minor variations of the parent structure, the authors were able to sep. MRP-1, glutathione-S-transferase (GST), cyclooxygenase (COX)-1 and COX-2 inhibitory activities. Combination cytotoxicity assays were utilized to identify agents which possess synergistic potential in MRP-1-expressing cell lines. MRP-1 Inside Out Vesicles (IOVs) were utilized to demonstrate the ability of the indomethacin analogs to inhibit the pump directly. Most of the indomethacin analogs active as MRP-1 inhibitors were poor GST inhibitors when compared with the GST-inhibitory activity of indomethacin. Two of the MRP-1 inhibitory analogs were found to have no COX-1 inhibitory activity and low COX-2 inhibitory activity, suggesting potentially reduced clin. toxicity. One MRP-1 inhibitory indomethacin analog was also found to have low COX-1 inhibitory activity, but significant COX-2 inhibitory activity, making this analog again interesting in terms of low potential toxicity, but with the possibility of direct inhibitory effects on tumor growth.
IT 16390-26-4
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(structure-activity relationship of indomethacin analogs for MRP-1, GST, COX-1 and COX-2 inhibition identification of novel chemotherapeutic drug resistance modulators in human tumor cell line)
RN 16390-26-4 CAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-2-methyl- (CA INDEX NAME)

L3 ANSWER 9 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



compound 25 in pub.

OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

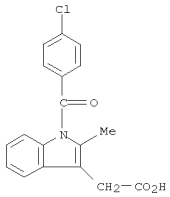
L3 ANSWER 10 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:182179 CAPLUS
DOCUMENT NUMBER: 136:226815
TITLE: Albumin-binding compounds that prevent nonenzymatic glycation and that may be used for treatment of glycation-related pathologies
INVENTOR(S): Cohen, Margo P.
PATENT ASSIGNEE(S): Exocell, Inc., USA
SOURCE: U.S., 20 pp., Cont.-in-part of U.S. 6,001,875.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6355680	B1	20020312	US 1999-349853	19990708
WO 9729746	A1	19970821	WO 1997-US2622	19970219
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2378456	A1	20010118	CA 2000-2378456	20000706
WO 2001003684	A2	20010118	WO 2000-US18449	20000706
WO 2001003684	A3	20020606		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000059151	A	20010130	AU 2000-59151	20000706
EP 1242069	A2	20020925	EP 2000-945171	20000706
EP 1242069	B1	20050629		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003504328	T	20030204	JP 2001-508965	20000706
AT 298568	T	20050715	AT 2000-945171	20000706
US 20010034359	A1	20011025	US 2001-817940	20010327
US 6552077	B2	20030422		
KR 2007104478	A	20071025	KR 2007-722640	20071004
KR 817443	B1	20080327		

PRIORITY APPLN. INFO.: US 1996-603147 B2 19960220
WO 1997-US2622 A2 19970219
US 1998-15148 A2 19980129
US 1999-349853 A 19990708
WO 2000-US18449 W 20000706

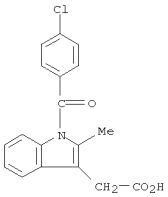
L3 ANSWER 10 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
KR 2002-700280 A3 20020108

OTHER SOURCE(S): MARPAT 136:226815
AB The invention is directed to compns. (Marcush structures are included) that inhibit the nonenzymic glycation of albumin, as well as methods of using compds. that inhibit albumin glycation for the treatment of glycation-related pathologies.
IT 16390-26-4
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(albumin-binding compds. that prevent nonenzymic glycation and that may be used for treatment of glycation-related pathologies)
RN 16390-26-4 CAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-2-methyl- (CA INDEX NAME)



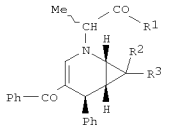
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:322278 CAPLUS
DOCUMENT NUMBER: 135:61194
TITLE: Synthesis of indomethacin analogues for evaluation as modulators of MRP activity
AUTHOR(S): Maguire, Anita R.; Plunkett, Stephen J.; Papot, Sebastien; Clynes, Martin; O'Connor, Robert; Touhey, Samantha
CORPORATE SOURCE: Department of Chemistry, University College Cork, Cork, Ire.
SOURCE: Bioorganic & Medicinal Chemistry (2001), 9(3), 745-762
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:61194
AB Synthesis of a range of indomethacin analogs, required for investigation in combination toxicity assays, bearing both N-benzyl and N-benzoyl groups, is described.
IT 16390-26-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of indomethacin analogs and derivs.)
RN 16390-26-4 CAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-2-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

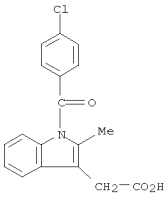
L3 ANSWER 12 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:276113 CAPLUS
DOCUMENT NUMBER: 133:68362
TITLE: Design and syntheses of methyl 2-methyl-2-[2-(4-benzoyl-5-phenyl-7-halo-2-azabicyclo[4.1.0]hept-3-ene)]acetates: novel inhibitors of cyclooxygenase-2 (COX-2) with analgesic-antiinflammatory activity
AUTHOR(S): Agudoawu, Sammy; Li, Huiying; Habeeb, Amgad G.; Rao, P. N. Praveen; Suresh, Mavanur R.; Knaus, Edward E.
CORPORATE SOURCE: Faculty of Pharmacy and Pharmaceutical Sciences, University of Alberta, Edmonton, AB, T6G 2N8, Can.
SOURCE: Drug Development Research (2000), 49(2), 75-84
CODEN: DDREDK; ISSN: 0272-4391
PUBLISHER: Wiley-Liss, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

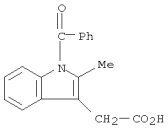
AB A group of Me 2-methyl-2-[2-(4-benzoyl-5-phenyl-7-halo-2-azabicyclo[4.1.0]hept-3-ene)]acetates (I: R1= MeO or NH2; R2= halogen or H; R3= halogen) (10-15), and the related acetamide deriv.I (R1= NH2; R2=R3=Br) (16), that possess a variety of C-7 substituents (Br, Cl, F, H), were designed for evaluation as analgesic-antiinflammatory agents. The effect of the C-7 substituent(s) and the nature of the acetic acid ester (R1 = OMe) or acetamide (R1 = NH2) moiety on analgesic activity was determined using a 4% NaCl-induced abdominal constriction assay. Compds. 10-16 inhibited writhing by 36-82%, relative to the reference drugs aspirin (58% inhibition) and celecoxib (62% inhibition). The nature of the C-7 substituents was a determinant of analgesic activity in the 7,7-dihalo group of compds. where the relative activity profile was 7-Cl2 > 7-Br2 > 7-F2 > 7-Cl, 7-F, and for 7-monohalo compds. where the potency order was 7-Br > 7-Cl. Elaboration of the 7,7-dibromo Me acetate ester (10) to the corresponding acetamide derivative (16) enhanced analgesic activity. The nature of the 7-halo substituent(s) in the 7,7-dihalo group of compds. was a determinant of antiinflammatory activity, determined using the carrageenan-induced rat paw edema assay, where the relative potency order was 7-Br2 > 7-Cl2 > 7-F2 > 7-Cl, 7-F. The most potent 7,7-dibromo compound (10) inhibited inflammation by 62%, relative to the reference drug ibuprofen (44%), and 10 inhibited COX-2 (IC50 = 26.4 μM) and COX-1 (IC50 = 227

L3 ANSWER 12 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
μM) for a COX-2 selectivity index of 8.6. Docking 10 in the active site of human COX-2 showed it binds in the center of the COX-2 binding site with the C-5 Ph ring oriented toward the acetylation site (Ser530), and the Ph group of the C-4 benzoyl moiety oriented in the vicinity of the COX-2 secondary binding pocket near Val523.
IT 16390-26-4
RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(analgesic-antiinflammatory SAR of azabicycloheptenes, novel COX-2 inhibitors)
RN 16390-26-4 CAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-2-methyl- (CA INDEX NAME)

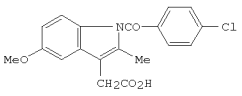


OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



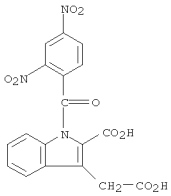
L3 ANSWER 13 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1983:416070 CAPLUS
DOCUMENT NUMBER: 99:16070
ORIGINAL REFERENCE NO.: 99:2433a,2436a
TITLE: Pharmacokinetic studies of delmethacin and indomethacin in rats
AUTHOR(S): Rimbau, V.; Forn, J.
CORPORATE SOURCE: Dep. Isot. Farmacocinet. Metab., J. Uriach y Cia., S. A., Barcelona, Spain
SOURCE: Archivos de Farmacologia y Toxicologia (1982), 8(3), 201-4
CODEN: AFTOD7; ISSN: 0304-8616
DOCUMENT TYPE: Journal
LANGUAGE: Spanish
GI



I

AB The pharmacokinetics of indomethacin (I) [53-86-1] and delmethacin (II) [16401-80-2] were compared in rat studies, with both drugs given i.v. at a dosage of 10 mg/kg. II had a much shorter half-life than I and exhibited monocompartmental kinetics. The rapid elimination of II and the lack of deep compartments imply a low tendency to form deposits or reservoirs which could result in toxic effects. I, however, exhibited triexponential kinetics and a long half-life, so the risk of accumulation was much greater than for II. The much lower toxicity of II as compared with I is consistent with the different pharmacokinetic behavior of the 2 compds. The high volume of distribution of II allows for easy access to those sites where its anti-inflammatory activity is needed.
IT 16401-80-2
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(pharmacokinetics of)
RN 16401-80-2 CAPLUS
CN 1H-Indole-3-acetic acid, 1-benzoyl-2-methyl- (CA INDEX NAME)

L3 ANSWER 14 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1980:514244 CAPLUS
DOCUMENT NUMBER: 93:114244
ORIGINAL REFERENCE NO.: 93:18277a,18280a
TITLE: A convenient synthesis of new indole derivatives
AUTHOR(S): Saleha, Sabiha; Siddiqui, Amin A.; Khan, Naseem H.
CORPORATE SOURCE: Dep. Chem., Aligarh Muslim Univ., Aligarh, 202 001, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1980), 19B(1), 81-2
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Several 1-substituted indole derivs. have been prepared by refluxing equimolar amts. of the appropriate indole and 2,4-dinitrobenzoyl chloride, p-bromoaniline, iso-Bu chloroformate and N-bromosuccinimide in EtOH in the presence of NaOAc.
IT 74693-46-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 74693-46-2 CAPLUS
CN 1H-Indole-3-acetic acid, 2-carboxy-1-(2,4-dinitrobenzoyl)- (CA INDEX NAME)

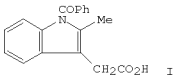


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L3 ANSWER 15 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1980:110849 CAPLUS
DOCUMENT NUMBER: 92:110849
ORIGINAL REFERENCE NO.: 92:18089a,18092a
TITLE: 1-Benzoyl-2-methylindole-3-acetic acid
INVENTOR(S): Francia Barra, Emilia; Carmelo Marin Moga, Antonio
PATENT ASSIGNEE(S): Uriach, J., y Cia. S. A., Spain
SOURCE: Span., 4 pp.
CODEN: SPXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Spanish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

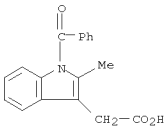
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 471436	A1	19791001	ES 1978-471436	19780705
PRIORITY APPLN. INFO.:			ES 1978-471436	A1 19780705

GI



I

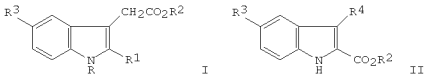
AB Title indole I was prepared by condensing PhCONPhNH2.HCl with levulinic acid
at 130-40°. I is an antiinflammatory agent (no data).
IT 16401-80-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 16401-80-2 CAPLUS
CN 1H-Indole-3-acetic acid, 1-benzoyl-2-methyl- (CA INDEX NAME)



L3 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1976:116931 CAPLUS
DOCUMENT NUMBER: 84:116931
ORIGINAL REFERENCE NO.: 84:18961a,18964a
TITLE: Substituted-indole plant-growth retardants
INVENTOR(S): Johne, Siegfried; Lischewski, Manfred; Schreiber, Klaus; Schulze, Christine; Sembdner, Guenther
PATENT ASSIGNEE(S): Ger. Dem. Rep.
SOURCE: Ger. (East), 9 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 112068	A1	19750320	DD 1974-176255	19740130
PRIORITY APPLN. INFO.:			DD 1974-176255	A1 19740130

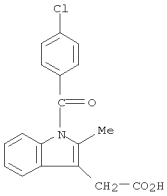
GI



I II

AB Substituted indoles I and II (R = H, Bz, or halogen-substituted Bz; R1 = H
or C1-4-alkyl; R2 = H, C1-4-alkyl, or cation; R3 = H, C1-10-alkyl, C1-4-alkoxy or alkylthio, nitro, or halogen; R4 = H or halogen) are plant-growth retardants, suitable for induction of shorter stem development in cereals. Thus, 10-3M Et 2-methylindole-3-acetate (I; R = H; R1 = Me; R2 = Et; R3 = H) [21909-49-9] inhibited growth of spring wheat
seedlings.
IT 16390-26-4
RL: BIOL (Biological study)
(plant growth inhibitor)
RN 16390-26-4 CAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-2-methyl- (CA INDEX NAME)

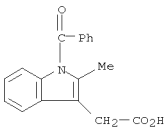
L3 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



L3 ANSWER 17 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1976:74102 CAPLUS
DOCUMENT NUMBER: 84:74102
ORIGINAL REFERENCE NO.: 84:12151a,12154a
TITLE: 3-Indolylacetic acid derivatives
INVENTOR(S): Kosa, Ildiko; Kovacs, Mrs. Gabor
PATENT ASSIGNEE(S): Chinoin Gyogyszer es Vegyeszeti Termekek Gyara Rt., Hung.
SOURCE: Hung. Teljes, 23 pp.
CODEN: HUXXBU
DOCUMENT TYPE: Patent
LANGUAGE: Hungarian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 9689		19750428	HU 1969-CI1249	19690403

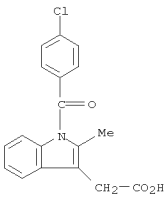
GI For diagram(s), see printed CA Issue.
AB Twelve I (R = H, Cl, Br, MeO; R1 = H, Me, Et, tert-Bu; R2 = Me, MeO, Me2N)
were prepared by treating p-R2C6H4N(NHCHO)COC6H4R-p with CH3COCH2CH2CO2R1.
Thus, p-MeOC6H4CONHNHCHO was acylated with p-ClC6H4COCl in C5H5N and the product heated 10 hr at 60° with tert-Bu levulinate in AcOH to give 74% I (R = Cl, R1 = tert-Bu, R2 = MeO).
IT 16401-80-2DP, 1H-Indole-3-acetic acid, 1-benzoyl-2-methyl-, derivs.
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 16401-80-2 CAPLUS
CN 1H-Indole-3-acetic acid, 1-benzoyl-2-methyl- (CA INDEX NAME)



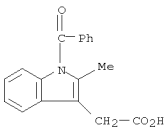
L3 ANSWER 18 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1975:125273 CAPLUS
DOCUMENT NUMBER: 82:125273
ORIGINAL REFERENCE NO.: 82:20011a,20014a
TITLE: N1-Acylated phenylhydrazone compounds
INVENTOR(S): Yamamoto, Hisao; Nakao, Masaru
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.
SOURCE: U.S., 12 pp. Division of U. S. 3,629,284 (CA 76;113060g).
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3770752	A	19731106	US 1970-64842	19700729
DE 1793618	A	19720525	DE 1967-1793678	19660415
DK 123977	B	19720828	DK 1968-1568	19680408
US 3629284	A	19711221	US 1969-838037	19690623
NO 127863	B	19730827	NO 1970-1613	19700427
FI 53307	C	19780410	FI 1971-672	19710308
PRIORITY APPLN. INFO.:			JP 1966-5754 A	19660131
			JP 1965-24928 A	19650426
			JP 1965-75793 A	19651208
			US 1969-838037	19690623
			US 1966-541967	19660412
			JP 1965-23078 A	19650419
			JP 1965-24929 A	19650426
			JP 1965-24930 A	19650426
			JP 1965-73856 A	19651130
			JP 1965-73857 A	19651130
			JP 1965-75430 A	19651207
			JP 1965-75792 A	19651208
			JP 1966-81794 A	19651229
			JP 1966-81795 A	19651229
			JP 1966-81796 A	19651229
			JP 1966-3187 A	19660120
			JP 1966-7276 A	19660207
			JP 1966-7277 A	19660207
			NO 1966-162587 A	19660414
			FI 1966-995 A	19660418

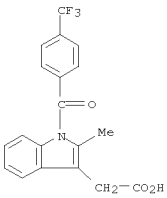
GI For diagram(s), see printed CA Issue.
AB The indoles I (n = 1,3; R = H, Et; R1 = Ph, p-ClC4, p-F3CC6H4, 3-pyridyl, 4-pyridyl, etc; R2 = H, MeO) were prepared from acylhydrazines. Thus, p-MeOC6H4NHN:CMe2 was treated with p-ClC6H4COCl and the product treated with HCl to give p-MeO-C6H4NNH2)COC6H4Cl-p.HCl, which was cyclized with MeCO(CH2)2CO2H to give I (n = 3, R = H, R1 = p-ClC6H4, R2 = MeO). The antiinflammatory ED50 of I (n = 1, R = H, R1 = 3-pyridyl, R2 = MeO) is 105 mg/kg. I are antipyretic and analgesic.
IT 16390-26-4P 16401-80-2P 16401-81-3P
16401-83-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 16390-26-4 CAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-2-methyl- (CA INDEX NAME)



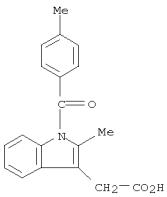
RN 16401-80-2 CAPLUS
CN 1H-Indole-3-acetic acid, 1-benzoyl-2-methyl- (CA INDEX NAME)



RN 16401-81-3 CAPLUS
CN 1H-Indole-3-acetic acid, 2-methyl-1-[4-(trifluoromethyl)benzoyl]- (CA INDEX NAME)



RN 16401-83-5 CAPLUS
CN 1H-Indole-3-acetic acid, 2-methyl-1-(4-methylbenzoyl)- (CA INDEX NAME)



L3 ANSWER 19 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1975:125272 CAPLUS
DOCUMENT NUMBER: 82:125272
ORIGINAL REFERENCE NO.: 82:20011a,20014a
TITLE: d-Indolyl aliphatic acid compounds
INVENTOR(S): Yamamoto, Hisao; Nakao, Masaru
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.
SOURCE: U.S., 13 pp. Division of U.S. 3,629,284 (CA 76: 113060g).
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3822275	A	19740702	US 1970-64841	19700729
DE 1793678	A	19720525	DE 1967-1793678	19660415
DE 1795671	A	19730412	DE 1967-1795671	19660415
DK 123977	B	19720828	DK 1968-1568	19680408
US 3629284	A	19711221	US 1969-838037	19690623
NO 127863	B	19730827	NO 1970-1613	19700427
FI 53307	C	19780410	FI 1971-672	19710308
FI 48834	B	19740930	FI 1972-459	19720221
PRIORITY APPLN. INFO.:			JP 1965-24928 A	19650426
			JP 1965-75793 A	19651208
			JP 1966-5754 A	19660131
			JP 1966-7276 A	19660207
			JP 1966-7277 A	19660207
			US 1966-541967 A1	19660412
			US 1969-838037 A3	19690623
			JP 1965-23078 A	19650419
			JP 1965-24929 A	19650426
			JP 1965-24930 A	19650426
			JP 1965-73856 A	19651130
			JP 1965-73857 A	19651130
			JP 1965-75430 A	19651207
			JP 1965-75792 A	19651208
			JP 1966-81794 A	19651229
			JP 1966-81795 A	19651229
			JP 1966-81796 A	19651229

L3 ANSWER 19 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

JP 1966-3187	A	19660120
NO 1966-162587	A	19660414
FI 1966-995	A	19660418

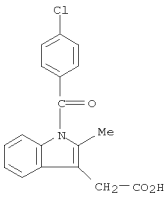
GI For diagram(s), see printed CA Issue.

AB Indomethacin analogs I (R = 3-pyridyl, 4-pyridyl, 2-thienyl, 2-furyl, 5-chloro-2-thienyl, Ph, 2-naphthyl; p-R2C6H4; R2 = Cl, Me, OMe, CF3, SMe, Br, F; R1 = H, OMe, Me, SMe, Cl, F, NO2, OEt), some of their esters and some related indolealkanoic acids were prepared Thus, I (R = 3-pyridyl, R1 = OMe) (II) was obtained by acylating p-MeOC6H4NHN:CHMe with nicotinoyl chloride, treating with HCl(g) to give N-nicotinoyl-N-(p-methoxyphenyl)hydrazine, which (4.9 g) was condensed with 17.6 g levulinic acid to give 5.8 g II. On the carrageenin edema test in rats II had an oral ED50 of 80 mg/kg and a therapeutic ratio of >18.8.

IT 16390-26-4P 16401-80-2P 16401-81-3P
16401-83-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 16390-26-4 CAPLUS

CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-2-methyl- (CA INDEX NAME)

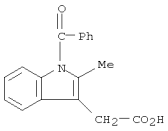


RN 16401-80-2 CAPLUS

CN 1H-Indole-3-acetic acid, 1-benzoyl-2-methyl- (CA INDEX NAME)

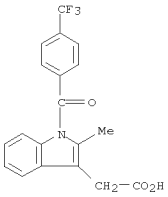
L3 ANSWER 19 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(1 CITINGS)

L3 ANSWER 19 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



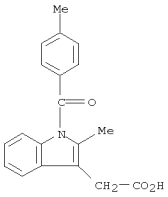
RN 16401-81-3 CAPLUS

CN 1H-Indole-3-acetic acid, 2-methyl-1-[4-(trifluoromethyl)benzoyl]- (CA INDEX NAME)



RN 16401-83-5 CAPLUS

CN 1H-Indole-3-acetic acid, 2-methyl-1-(4-methylbenzoyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

L3 ANSWER 20 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN

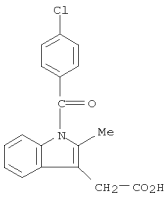
ACCESSION NUMBER: 1974:449563 CAPLUS
DOCUMENT NUMBER: 81:49563
ORIGINAL REFERENCE NO.: 81:7911a,7914a
TITLE: N'-Heteroacylated phenylhydrazines
INVENTOR(S): Yamamoto, Hisao; Nakao, Masaru
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.
SOURCE: U.S., 12 pp. Division of U.S. 3,629,284 (CA 76;113060g).
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3810906	A	19740514	US 1970-64843	19700729
US 3629284	A	19711221	US 1969-838037	19690623
PRIORITY APPLN. INFO.:			US 1966-541967 A1	19660412
			US 1969-838037 A3	19690623
			JP 1965-23078 A	19650419
			JP 1965-24928 A	19650426
			JP 1965-24929 A	19650426
			JP 1965-24930 A	19650426
			JP 1965-73856 A	19651130
			JP 1965-73857 A	19651130
			JP 1965-75430 A	19651207
			JP 1965-75792 A	19651208
			JP 1965-75793 A	19651208
			JP 1966-81794 A	19651229
			JP 1966-81795 A	19651229
			JP 1966-81796 A	19651229
			JP 1966-3187 A	19660120
			JP 1966-5754 A	19660131
			JP 1966-7276 A	19660207
			JP 1966-7277 A	19660207

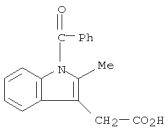
GI For diagram(s), see printed CA Issue.

AB RCON(NH2)C6H4R1 (I, R = p-ClC6H4, p-MeC6H4, Ph, p-MeOC6H4, p-F3CC6H4, p-BrC6H4, p-FC6H4, 3-pyridyl, 4-pyridyl, 2-thienyl, 5-chloro-2-thienyl, 2-furyl, p-MeSC6H4, 2-naphthyl; R1 = H, p-Cl, p-Me, p-MeO, p-F, m-Me,

L3 ANSWER 20 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
p-MeS, p-NO2, p-EtO) (25 compds.) were prepd. by acylating MeCH:-NNHC6H4R1
and treating the MeCH:NN(COR)C6H4R1 with HCl(g). I were cyclized with R2COZCO2R3 (R2 = H, Me; Z = CH2, CHMe, (CH2)2, (CH2)3; R3 = H, Me, Et, CMe3, CH2Ph) to give the indoles II (42 compds.). II (R = 3-pyridyl, 4-pyridyl, R1 = 5-MeO, R2 = Me, R3 = H, Z = CH2) had oral antiinflammatory
ED50 in the rat paw edema test of 80 and 105 mg/kg, resp., and therapeutic
ratios >18.8 and >14.3, resp.
IT 16390-26-4P 16401-80-2P 16401-81-3P
16401-83-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 16390-26-4 CAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-2-methyl- (CA INDEX NAME)

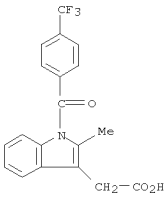


RN 16401-80-2 CAPLUS
CN 1H-Indole-3-acetic acid, 1-benzoyl-2-methyl- (CA INDEX NAME)

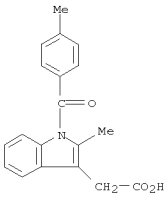


RN 16401-81-3 CAPLUS
CN 1H-Indole-3-acetic acid, 2-methyl-1-[4-(trifluoromethyl)benzoyl]- (CA INDEX NAME)

L3 ANSWER 20 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 16401-83-5 CAPLUS
CN 1H-Indole-3-acetic acid, 2-methyl-1-(4-methylbenzoyl)- (CA INDEX NAME)



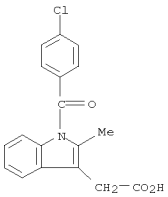
L3 ANSWER 21 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1972:113060 CAPLUS
DOCUMENT NUMBER: 76:113060
ORIGINAL REFERENCE NO.: 76:18253a,18256a
TITLE: Antiinflammatory N-acylindole-3-aliphatic acid derivatives
INVENTOR(S): Yamamoto, Hisao; Nakao, Masaru
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.
SOURCE: U.S., 15 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3629284	A	19711221	US 1969-838037	19690623
JP 49045386	B	19741204	JP 1965-24929	19650426
JP 49045387	B	19741204	JP 1965-24930	19650426
DE 1793678	A	19720525	DE 1967-1793678	19660415
DE 1795671	A	19730412	DE 1967-1795671	19660415
AT 277211	B	19691210	AT 1967-6440	19660418
CH 517077	A	19711231	CH 1966-517077	19660418
CH 517078	A	19711231	CH 1966-517078	19660418
SE 361879	B	19731119	SE 1968-17388	19660418
CS 152995	B2	19740222	CS 1972-1101	19660418
BR 6786194	D0	19731226	BR 1967-186194	19670116
DK 123977	B	19720828	DK 1968-1568	19680408
DK 127639	B	19731210	DK 1968-1569	19680408
NO 127863	B	19730827	NO 1970-1613	19700427
US 3770752	A	19731106	US 1970-64842	19700729
US 3810906	A	19740514	US 1970-64843	19700729
US 3822275	A	19740702	US 1970-64841	19700729
FI 53307	C	19780410	FI 1971-672	19710308
FI 48834	B	19740930	FI 1972-459	19720221
PRIORITY APPLN. INFO.:			JP 1965-23078 A	19650419
			JP 1965-24928 A	19650426
			JP 1965-24929 A	19650426
			JP 1965-24930 A	19650426
			JP 1965-73856 A	19651130
			JP 1965-73857 A	19651130
			JP 1965-75430 A	19651207
			JP 1965-75792 A	19651208
			JP 1965-75793 A	19651208
			JP 1966-81794 A	19651229
			JP 1966-81795 A	19651229
			JP 1966-81796 A	19651229

L3 ANSWER 21 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

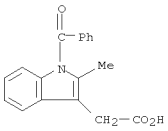
JP 1966-3187	A	19660120	
JP 1966-5754	A	19660131	
JP 1966-7276	A	19660207	
JP 1966-7277	A	19660207	
US 1966-541967		19660412	
NO 1966-162587	A	19660414	
FI 1966-995	A	19660418	
US 1969-838037		19690623	

GI For diagram(s), see printed CA Issue.
AB The hydrazine (I, R = nicotinoyl, R1 = MeO) (II) was treated with Ac(CH2)2CO2H to give the indoleacetic acid (III, n = 1, R = nicotinoyl, R1
= MeO, R2 = R3 = H) (IV). About 90 similar III (R = nicotinoyl, 2-thenoyl, 2-furoyl, isonicotinoyl, p-ClC6-H4CO, p-MeOC6H4CO, Bz, p-MeC6H4CO, p-MeSC6H4CO, β-naphthoyl, p-BrC6H4CO, p-FC6H4CO; R1 = H, MeO, Me, Cl, F, EtO; R2 = H, Me; R3 = H, tert-Bu, PhCH2, Me, Et; n = 1, 2,
3) were prepared V (R = CH(CO2Et)2, CH2CONH2) were similarly prepared II was
prepared by treatment of p-MeOC6H4-NHN:CHMe with nicotinoyl chloride and treatment of the product with HCl. Several similar I (R1 = Me, MeO, Cl, R
= nicotinoyl, 2-thenoyl, 2-furoyl, p-MeC6H4CO, p-ClC6H4CO) were prepared The ED50 of IV was 80 mg/kg for carrageenan-induced edema in rat paws. The LD50/ED50 was >18.8 for IV (indomethacin was <6.5).
IT 16390-26-4P 16401-80-2P 16401-81-3P
16401-83-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 16390-26-4 CAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-2-methyl- (CA INDEX NAME)

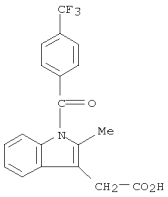


RN 16401-80-2 CAPLUS

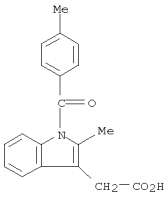
L3 ANSWER 21 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN 1H-Indole-3-acetic acid, 1-benzoyl-2-methyl- (CA INDEX NAME)



RN 16401-81-3 CAPLUS
CN 1H-Indole-3-acetic acid, 2-methyl-1-[4-(trifluoromethyl)benzoyl]- (CA INDEX NAME)



RN 16401-83-5 CAPLUS
CN 1H-Indole-3-acetic acid, 2-methyl-1-(4-methylbenzoyl)- (CA INDEX NAME)



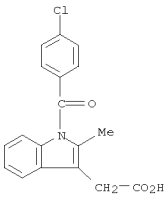
L3 ANSWER 21 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(4 CITINGS)

L3 ANSWER 22 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1971:125421 CAPLUS
DOCUMENT NUMBER: 74:125421
ORIGINAL REFERENCE NO.: 74:20259a,20262a
TITLE: 1-Acylindole derivatives
INVENTOR(S): Yamamoto, Hisao; Nakao, Masaru
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.
SOURCE: Jpn. Tokkyo Koho, 3 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 45037528	B4	19701128	JP	19670512

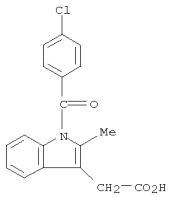
GI For diagram(s), see printed CA Issue.
AB I, useful as an antiinflammatory, analgesic, and antipyretic, is prepared In an example, N1-(p-chlorobenzoyl)-N1-(p-methoxyphenyl)hydrazine-HCl and acetosuccinic acid in AcOH are warmed 4 hr at 85-90° to give I (R1 = p-ClC6H4CO, R2 = MeO), m. 160-1° (aqueous Me2CO). Similarly prepared are 9 addnl, I.
IT 16390-26-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 16390-26-4 CAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-2-methyl- (CA INDEX NAME)



L3 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1971:87822 CAPLUS
DOCUMENT NUMBER: 74:87822
ORIGINAL REFERENCE NO.: 74:14249a,14252a
TITLE: 1-Acyl-3-indolylacetic acid derivatives
INVENTOR(S): Yamamoto, Hisao; Nakamura, Yasushi; Nakao, Masaru; Wakimura, Atsushi
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.
SOURCE: Jpn. Tokkyo Koho, 4 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 45037522	B4	19701128	JP	19670620

GI For diagram(s), see printed CA Issue.
AB I, useful as antiinflammatants, analgesics, and antipyretics, are manufactured
γ-[1-(p-Chlorobenzoyl)-2-methyl-5-methoxy-3-indole]butyric acid (150 mg) in 20 ml EtOH is cultured with 100 g liver flakes of rabbits in a Klebs-Ringer phosphate buffer (pH 7.4) 4 hr at 37°, boiled, homogenized, adjusted to pH 5, and extracted with C6H6 to give 80 mg I (R1 = p-ClC6H4CO, R2 = OMe), m. 156-9°; glucuronide m. 142-4° (hexane-Et2O). Similarly prepared are I (R1, R2, and m.p. given): p-ClC6H4CO, Me, 207-9°; p-Me-C6H4CO, OMe, 150-1°; PhCH:CHCO, OMe, 164-5°; 2,4-hexa-dienoyl, OMe, 162-3°; p-ClC6H4CO, H, 124-7°; nicotinoyl, OMe, 199-201°.
IT 16390-26-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 16390-26-4 CAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-2-methyl- (CA INDEX NAME)

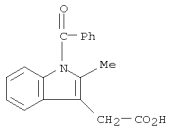


L3 ANSWER 24 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1971:22693 CAPLUS
DOCUMENT NUMBER: 74:22693
ORIGINAL REFERENCE NO.: 74:3667a,3670a
TITLE: Pharmaceutical 1-benzoyl-2-methylindole-3-acetic acid derivatives
INVENTOR(S): Kosa, Ildiko; Kovacs, Vera
PATENT ASSIGNEE(S): Chinoin Gyogyszer es Vegyeszeti Termekek Gyara Rt.
SOURCE: Ger. Offen., 19 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2009474	A	19701105	DE 1970-2009474	19700228
DE 2009474	C2	19840510		
SE 380261	B	19751103	SE 1969-14867	19691030
AT 293377	B	19711011	AT 1970-2001	19700304
SU 543344	A3	19770115	SU 1970-1419621	19700402
NO 136538	B	19770613	NO 1970-1226	19700402
FR 2042302	A5	19710212	FR 1970-12110	19700403
FR 2042302	A1	19710212		
CH 555826	A	19741115	CH 1970-4990	19700403
JP 51007666	B	19760310	JP 1970-28542	19700403
FR 2120185	A5	19720811	FR 1972-673	19720110
FR 2120185	A1	19720811		
PRIORITY APPLN. INFO.:			HU 1969-CI877 A	19690403

GI For diagram(s), see printed CA Issue.
AB The title compds. (I) with antiinflammatory, antipyretic, and analgesic effects were prepared by acylating p-R3C6H4NHNH-COR (II) to give p-R3C6H4(R1C6H4CO)NNHCOR (III), reaction of III with MeCOCH2CH2CO2R2 (IV) to give V and elimination of H2NCOR and (or) saponification Thus, 3.04 g III (R = H, R1 = p-Cl, R3 = MeO) was dissolved in 30 ml CHCl3 and 4 ml levulinic acid and HCl was passed 5 hr at room temperature and 2 hr at 80° into the solution The product was kept 16 hr and filtered to give I (R1 = p-Cl, R2 = H, R3 = MeO). Also prepared were I (R1-R3 given): Cl, Bu, MeO; MeO, H, MeO; Me, H, MeO; H, H, H.
IT 16401-80-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 16401-80-2 CAPLUS
CN 1H-Indole-3-acetic acid, 1-benzoyl-2-methyl- (CA INDEX NAME)

L3 ANSWER 24 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

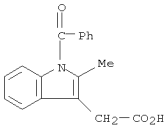
L3 ANSWER 25 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1970:498797 CAPLUS
DOCUMENT NUMBER: 73:98797
ORIGINAL REFERENCE NO.: 73:16119a,16122a
TITLE: Antiinflammatory and antipyretic 1-acyl-3-indolyl aliphatic acid derivatives
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.
SOURCE: Fr., 49 pp.
CODEN: FRXXAK
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1583552	A	19691114	FR 1968-147658	19680410
JP 52006983	B	19770226	JP 1967-79923	19671212
DE 1770157	A	19720420	DE 1967-1770157	19680408
DE 1795674	A1	19730419	DE 1967-1795674	19680408
DE 1795674	C3	19781116		
NL 6804994	A	19681014	NL 1968-4994	19680409
SE 329618	B	19701019	SE 1968-4795	19680409
US 3669987	A	19720613	US 1968-719939	19680409
BR 6898229	D0	19730417	BR 1968-198229	19680409
DK 138739	B	19781023	DK 1968-1593	19680409
DK 138739	C	19790417		
AT 283348	B	19700810	AT 1968-3548	19680410
DD 114813	A5	19750820	DD 1968-181147	19680410
DD 118420	A5	19760305	DD 1968-181155	19680410
PL 71358	B1	19740629	PL 1968-126950	19680514
FR 7667	M	19700209	FR 1968-156308	19680624
DE 1795771	A1	19750320	DE 1967-1795771	19680920
SE 377333	B	19750630	SE 1971-13530	19680920
PL 87750	B1	19760731	PL 1968-164610	19680921
AT 292002	B	19710810	AT 1968-10658	19681031
AT 295514	B	19720110	AT 1970-8807	19681031
SE 354661	B	19730319	SE 1968-14867	19681101
BR 6804413	D0	19730208	BR 1968-204413	19681128
CS 155194	B2	19740530	CS 1968-8126	19681128
AT 294098	B	19711110	AT 1968-11667	19681129
AT 296282	B	19720210	AT 1970-10532	19681129
PL 71403	B1	19740629	PL 1968-130338	19681130
AT 299953	B	19720710	AT 1968-11780	19681203
US 3770767	A	19731106	US 1970-89480	19701113
US 3723464	A	19730327	US 1971-131767	19710406
NL 7213495	A	19730226	NL 1972-13495	19721005
NL 7215027	A	19730226	NL 1972-15027	19721107
US 3922264	A	19751125	US 1973-393193	19730830
NL 7505633	A	19750829	NL 1975-5633	19750514
NL 166467	B	19810316		
NL 166467	C	19810817		
DK 7701264	A	19770322	DK 1977-1264	19770322
DK 138851	B	19781106		
DK 138851	C	19790417		
PRIORITY APPLN. INFO.:			JP 1967-23337 A	19670411
			JP 1967-28825 A	19670506

L3 ANSWER 25 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

JP 1967-29311	A	19670508
JP 1967-33038	A	19670523
JP 1967-33885	A	19670527
JP 1967-34427	A	19670529
JP 1967-62424	A	19670927
JP 1967-62425	A	19670927
JP 1967-62426	A	19670927
JP 1967-62427	A	19670927
JP 1967-62428	A	19670927
JP 1967-62429	A	19670927
JP 1967-62430	A	19670927
JP 1967-65102	A	19671009
JP 1967-65104	A	19671009
JP 1967-67354	A	19671018
JP 1967-70798	A	19671102
JP 1967-72079	A	19671108
JP 1967-77237	A	19671201
JP 1967-78812	A	19671207
JP 1967-79923	A	19671212
JP 1967-80323	A	19671214
JP 1967-80324	A	19671214
JP 1967-84961	A	19671228
JP 1968-84961	A	19671228
JP 1968-1501	A	19680110
DK 1968-1593	A	19680409
US 1968-770815	A3	19681025
US 1968-777458	A3	19681120
US 1968-780211	A2	19681129
US 1970-74464	A1	19700922

L3 ANSWER 25 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
GI For diagram(s), see printed CA Issue.
AB Antipyretic and antiinflammatory compds. I, their salts and esters are prepared from II. Thus, Et levulinate p-methoxyphenylhydrazone and pyridine in Et2O was treated with BzCl at 0-5° to give II (R1 = p-OMe, R2 = Bz, R3 = Me, R4 = H, R5 = Et), oil. Similarly prepared were II (R1 = p-OMe or p-OEt, R2 = nicotinoyl, isonicotinoyl, or cinnamoyl, R3 = Me, R4 = H, R5 = Me or Et). To II (R1 = p-OMe, R2 = H, R3 = Me, R4 = H, R5 = tert-Bu), pyridine, and dioxane was added p-ClC6H4COCl and the mixture heated to 80° to give I (R1 = 5-OMe, R2 = p-ClC6H4CO, R3 = Me, R4 = H, R5 = tert-Bu) (III), m. 103-4°. Heating III with a ceramic powder at 200-215° gave I (R1 = 5-OMe, R2 = p-ClC6H4CO, R3 = Me, R4 = R5 = H) (IV), m. 152-5°. II (R1 = OMe, R2 = cinnamoyl, R3 = R5 = Me, R4 = H), HCl and AcOH was heated 2 hr to 90° to give Me 1-cinnamoyl-2-methyl-5-methoxy-3-indoleacetate m. 87-7.5° (MeOH). IV was heated with aqueous NaHCO3 to give the Na salt. By similar methods .apprx.15 I analogs were prepared
IT 16401-80-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 16401-80-2 CAPLUS
CN 1H-Indole-3-acetic acid, 1-benzoyl-2-methyl- (CA INDEX NAME)

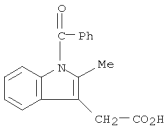


OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L3 ANSWER 26 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1970:455969 CAPLUS
DOCUMENT NUMBER: 73:55969
ORIGINAL REFERENCE NO.: 73:9193a,9196a
TITLE: Antiinflammatory 1-benzoyl-2-methyl-3-indoleacetic acids
INVENTOR(S): Chemerda, John M.; Sletzinger, Meyer
PATENT ASSIGNEE(S): Merck and Co., Inc.
SOURCE: U.S., 3 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3517028	A	19700623	US 1967-656024	19670726
PRIORITY APPLN. INFO.:			US 1967-656024	A 19670726

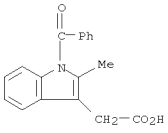
GI For diagram(s), see printed CA Issue.
AB Title compds. are prepared Thus, 2-methyl-5-methoxyindole is treated with POCl3 in DMF to yield I (R = CHO) (II). The N-Na salt of II, prepared from NaH, is treated with p-ClC6H4COCl to form III (R = CHO) (IV). IV is reduced to III (R = CH2OH) (V) with dimethylborane. V reacted with SOBr2 to yield III (R = CH2Br). Reaction of V with Ni(CO)4, Ni chloride, and CO in HCl yields III (R = CH2CO2H). 5-Me2N analogs of I and III were also prepared
IT 16401-80-2DP, Indole-3-acetic acid, 1-benzoyl-2-methyl-, derivs.
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 16401-80-2 CAPLUS
CN 1H-Indole-3-acetic acid, 1-benzoyl-2-methyl- (CA INDEX NAME)



L3 ANSWER 27 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1968:435940 CAPLUS
DOCUMENT NUMBER: 69:35940
ORIGINAL REFERENCE NO.: 69:6695a,6698a
TITLE: N-Benzoyl-3-indolylacetic acid derivatives
INVENTOR(S): Yamamoto, Hisao; Nakao, Masaru
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.
SOURCE: Jpn. Tokkyo Koho, 2 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 42024501	B4	19671125	JP	19651207

AB Manufacture of I, useful as antiphlogistic, analgesic, and antipyretic agents, by heating II is described. In an example, 2 g. II (R1 = Cl, R2 = OMe) is heated 20 min., the product cooled and extracted with 5 ml. AcOH, 15 ml. H2O added to the extract, and the precipitate washed with H2O to give I (R1 = Cl, R2 = OMe), m. 151-3° (dilute EtOH). Similarly prepared are the following I (R1, R2, and m.p. given): Cl, OEt, 162-4°; H, Cl, 169-72°; Cl, F, 148-50°; Me, OMe, 154-6°; OMe, OMe, 158-60°.
IT 16401-80-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 16401-80-2 CAPLUS
CN 1H-Indole-3-acetic acid, 1-benzoyl-2-methyl- (CA INDEX NAME)



L3 ANSWER 28 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1968:29596 CAPLUS
DOCUMENT NUMBER: 68:29596
ORIGINAL REFERENCE NO.: 68:5734h,5735a
TITLE: Indolyl acid amides
INVENTOR(S): Shen, Tsung-Ying
PATENT ASSIGNEE(S): Merck and Co., Inc.
SOURCE: U.S., 13 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3336194		19670815	US 1963-331075	19630430

GI For diagram(s), see printed CA Issue.
AB The title compds. (I) are useful antiinflammatory agents. A solution of 25 g. p-MeOC6H4NHNH2.HCl and 20 g. Et α-methyllevulinate in 250 ml. 2N ethanolic-HCl was heated on the steam bath a few min., the spontaneous refluxing allowed to subside, the mixture again refluxed on the steam bath 30 min., concentrated in vacuo to 80 ml., diluted with 400 ml. H2O, and extracted with Et2O, and the Et2O extract worked up in the usual manner to yield an oil which was chromatographed over acid-washed alumina and distilled in a short-path distillation apparatus to give I (R = OEt, R1 = Me, R2 = Me, R3 = H, R4 = MeO) (Ia), b0.25 150-3°, m. 53-5.5° (Et2O-petr. ether). A suspension of 2.3 g. 50% NaH-mineral oil suspension in 250 ml. HCONMe2 (DMF) was stirred 20 min. under N with ice-cooling, treated with 8.64 g. Ia, stirred 20 min., treated dropwise during 30 min. with 8.6 g. p-MeSC6H4COCl (II) in 50 ml. DMF, stirred 5 hrs. in an ice bath under N, and poured into a mixture of 500 ml. Et2O, 5 ml. AcOH, and 1 l. iced H2O, the organic products extracted with Et2O, the Et2O extract washed with a large quantity of H2O, dried over Na2SO4, and filtered, the filtrate evaporated to near dryness, and the residue chromatographed over alumina to give I (R = OEt, R1 = Me, R2 = Me, R3 = p-MeSC6H4CO, R4 = MeO). A mixture of 27 g. p-MeSC6H4CO2H and 21.4 g. SOCl2 was heated 1 hr. on the steam bath to give II, m. 40-4°. A solution of 15 g. I (R = MeO, R1 = H, R2 = Me, R3 = H, R4 = MeO) and 0.2 g. Na in 60 ml. PhCH2OH was slowly fractionated during 4.5 hrs. through a Vigreux column to remove MeOH. The excess PhCH2OH was distilled at 60°/2.5 mm. to leave 18.6 g. I (R = PhCH2O, R1 = H, R2 = Me, R3 = H, R4 = MeO). A solution of 1.5 g. Ib (see below) in 20 ml. EtOAc containing a drop of AcOH was reduced catalytically at room temperature over Pd on C to give I (R = PhCH2O, R1 = H, R2 = Me, R3 = H, R4 = MeO), m. 172-3°. A solution of 10 g. dicyclohexylcarbodiimide (III) and 22 g. I (R = OH, R1 = H, R2 = Me, R3 = H, R4 = MeO) in 200 ml. tetrahydrofuran (THF) was kept 2 hrs. at room temperature and filtered, the filtrate evaporated in vacuo to a residue which was flushed with Skellysolve B, treated with 25

L3 ANSWER 28 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
ml. tert-BuOH and 0.3 g. fused ZnCl2, and refluxed 16 hrs., the excess alc. removed in vacuo, and the residue dissolved in Et2O and worked up by standard procedures to give 18 g. of an oily ester. A stirred soln. of the latter in 450 ml. dry DMF was treated with NaH and p-ClC6H4COCl as above to give I (R = tert-BuO, R1 = H, R2 = Me, R3 = p-ClC6H4CO, R4 = MeO)
(Ic), m. 103-4° (MeOH). A stirred mixt. of 1 g. Ic and 0.1 g. powd. porous plate was heated in an oil bath at 210° under N 2 hrs., cooled, and dissolved in C6H6 and the mixt. extd. with NaHCO3 soln., filtered, neutralized with AcOH, and acidified with dil. HCl to give 0.4 g. I (R = R1 = H, R2 = Me, R3 = p-ClC6H4CO, R4 = MeO), m. 151° (aq. EtOH). A soln. of 20.6 g. III in 110 ml. dry THF was added during 30 min. to a soln. of 13.9 g. p-O2NC6H4OH and 12.3 g. isonicotinic acid in 250 ml. THF and the mixt. stirred overnight to give p-nitrophenyl isonicotinate (IV), m. 126-7°. A mixt. of 100 ml. DMF and 10.5 g. I (R = MeO, R1 = H, R2 = Me, R3 = H, R4 = MeO) under N at 0° was treated with 2.5 g. 50% NaH in mineral oil mixt., stirred 30 min., and treated during 15 min. with a soln. of 11 g. IV in 50 ml. DMF and worked up as above to give I (R = MeO, R1 = H, R2 = Me, R3 = isonicotinyl, R4 = MeO), m. 114-15°. Id (see below) (3 g.) in 300 ml. MeOH was reduced with H in an autoclave over Raney Ni to give I (R = MeO, R1 = H, R2 = Me, R3 = H, R4 = NH2) (Ie), m. 144-5°. A stirred mixt. of 1.0 g. Ie, 0.99 g. Br(CH2)4Br, and 0.995 g. anhyd. Na2CO3 was refluxed 6 hrs. under N and filtered, the filtrate concd. in vacuo to a small vol., dild. with Et2O, washed with H2O, dried over Na2SO4, concd. in vacuo, and chromatographed over silica gel to give I (R = MeO, R1 = H, R2 = Me, R3 = H, R4 = 1-pyrrolidinyl), m. 117-19°. A mixt. of 0.387 g. If (see below) in 20 ml. distd. MeOCH2CH2OMe (V), 1.5 ml. AcOH, and 0.5 ml. 37% aq. HCHO was reduced over Raney Ni at 40 psi. at room temp. to give I (R = MeO, R1 = H, R2 = Me, R3 = p-ClC6H4CO, R4 = Me2N). A soln. of 0.388 g. If in 30 ml. anhyd. EtOAc and 0.306 g. Ac2O was reduced over Raney Ni at room temp. and 40 psi. to give I (R = MeO, R1 = H, R2 = Me, R3 = p-ClC6H4CO, R4 = AcNH), m. 176-7°. A slurry of 80 ml. dry C6H6, 20 ml. PhCH2OH, 3.0 g. Ig (see below), and 0.2 g. p-MeC6H4SO3H was refluxed 2 hrs. under N and the formed H2O removed in a Dean-Stark tube. Excess alc. was removed in vacuo, the residue dissolved in C6H6, washed with aq. NaHCO3, followed by H2O, dried, and concd. in vacuo, and the residue chromatographed over acid-washed alumina to give I (R = PhCH2O, R1 = H, R2 = Me, R3 = H, R4 = NO2), m. 147-8° (C6H6-petr. ether). A soln. of 0.025 molar I (R = MeO, R1 = Me, R2 = Me, R3 = p-ClC6H4CO, R4 = NO2) in 100 ml. EtOH was hydrogenated over 120 mg. 10% Pd on C at 40 psi. and room temp. to give I (R = MeO, R1 = Me, R2 = Me, R3 = p-ClC6H4CO, R4 = NH2) (Ih). A cooled, stirred suspension of I (R = MeO, R1 = H, R2 = Me, R3 = p-ClC6H4CO, R4 = NH2), NaH, and DMF was treated with MeI to give I (R = MeO, R1 = H, R2 = Me, R3 = p-ClC6H4CO, R4 = AcMeN). A mixt. of 0.02 mole Ih, 0.044 mole ethylene oxide, and 0.03 mole AcOH in 300 ml. V was heated 18 hrs. at

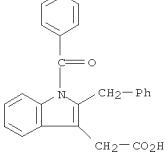
L3 ANSWER 28 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
100° in an autoclave, dild. with H2O, and filtered to give I [R = MeO, R1 = Me, R2 = Me, R3 = p-ClC6H4CO, R4 = (HOCH2CH2)2N] (Ii). A stirred soln. of Ii and 2 mole proportions of p-MeC6H4SO2Cl in C5H5N at 0° was poured into H2O and the 5-bis(p-tolylsulfonyloxyethyl)amino compd. isolated. The latter was dissolved in C6H6, treated with 1 mole MeNH2, kept 3 days at room temp., and poured into ice-H2O, and the product isolated in the usual manner to give I (R = MeO, R1 = Me, R2 = Me, R3 = p-ClC6H4CO, R4 = 4-methyl-1-piperazinyl). A mixt. of 36.02 g. triphenylphosphonium bromide and 94.36 ml. 0.1N BuLi was stirred 1 hr. at room temp. under N and treated with 38 g. Et (2-methyl-5-methoxyindol-3-yl)-glyoxylate in 260 ml. C6H6 and the mixt. stirred 1 hr., transferred to a closed pressure flask, and heated 5 hrs. at 65-70° to give Et α-(1-benzoyl-2-methyl-5-methoxyindol-3-yl)acrylate (VI). A soln. of 1.8 g. VI in 10 ml. THF was treated with 4.0 g. CH2I2, 1.25 g. Zn-Cu couple, and iodine in 20 ml. THF, the mixt. refluxed 20 hrs. under N and filtered, the filtrate added to ice-H2O and extd. with Et2O, and the Et2O ext. worked up to give Et α-(1-benzoyl-2-methyl-5-methoxyindol-3-yl)cyclopropanecarboxylate. A suspension of 1.0 g. 50% NaH in 80 ml. C6H6 was treated successively with 4.4 g. I (R = NH2, R1 = H, R2 = Me, R3 = H, R4 = MeO), 20 ml. DMF, and 2.8 g. BzCl to give I (R = NH2, R1 = H, R2 = Me, R3 = Bz, R4 = MeO) (Ij), m. 219-20° (EtOAc). A soln. of 3.2 g. Ij in 50 ml. V contg. 1 ml. 12N HCl at 0° was treated with 0.7 g. NaNO2, the mixt. poured into H2O and extd. with CH2Cl2, and the ext. worked up to give I (R = OH, R1 = H, R2 = Me, R3 = Bz, R4 = MeO). An ice-cooled, N-blanketed soln. of 0.0075 mole I (R = OH, R1 = H, R2 = Me, R3 = p-ClC6H4CO, R4 = MeO) and 0.0075 mole Et3N in 40 ml. V was treated with 0.0077 mole isobutyl chloroformate, the mixt. stirred in the cold 20 min. and filtered, the filtrate immediately ice-cooled, placed under N, and treated with 0.008 mole morpholine in 10 cc. V, and the cold mixt. stirred overnight and filtered to give I (R = morpholinyl, R1 = H, R2 = Me, R3 = p-ClC6H4Co, R4 = MeO), m. 162-3.5°. A mixt. of 0.003 mole Ik (see below) in 25 ml. anhyd. MeOH was reduced in the presence of 1 g. 5% Pd on C at room temp. and 40 psi. to give I (R = NHCH2CO2H, R1 = H, R2 = Me, R3 = p-ClC6H4CO, R4 = MeO), m. 152.5-54°; p-nitrophenylhydrazone m. 175-9°. The following I were similarly prepd. according to the various procedures given above (R, R1, R2, R3, R4, and m.p. given; A = p-ClC6H4CO): EtO, Me, Me, H, MeO, 88.0-8.5°; MeO, H, Me, A, MeO, 99-100°; EtO, Me, Me, 2-Me-4-Me-SC6H3CO, MeO, -; EtO, Me, Me, Bz, MeO, -; EtO, Me, Me, A, MeO, -; PhCH2O, H, Me, Bz, MeO (Ib), 91-2°; EtO, Me, Me, p-FC6H4CO, MeO, -; BuO, Me, Me, p-MeSC6H4CO, MeO, -; OH, Me, Me, p-MeSC6H4CO, MeO, 175-6°; OH, Me, Me, A, MeO, 87-9°; OH, H, Me, H, NO2 (Ig), 238°; MeO, H, Me, H, NO2 (Id), 132°; MeO, H, Me, A, 1-pyrrolidinyl, 62-4°; MeO, H, Me, A, NO2 (If), 170-1°; PhCH2O, H, Me, A, NO2 (Ih), 166-7°; MeO, H, Me, A, 4-morpholino, -; MeO, H, Me, A, CN, -; MeO, H, Me, A, CH2NH2, -; MeO, H, Me, A, Me2NCH2, -; MeO, H, Ph, A, MeO, 120.0-20.5°; EtO, Me, Me, A, EtO, -; OH, H, PhCH2, A, H, -; OH, H, Me, p-MeOC6H4CO, MeO, 88-9°; OH, Me, Me, p-MeOC6H4CO, MeO, 65°; MeO, H, Me, p-BrC6H4CO, MeO, 106-7.5°; MeO, H, Me, p-O2NC6H4CO, MeO, 130-2°; MeO, H, Me, o-ClC6H4CO, MeO, 91-3°; MeO, H, Me, m-ClC6H4CO, MeO, 51-2°; MeO, H, Me, p-PhC6H4CO, MeO, 101.5-3.0°; MeO, H, Me, p-AcOC6H4CO,

L3 ANSWER 28 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
MeO, 99-101°; EtO, H, Me, 4-thiazolylcarboxy, MeO, 76-82°; EtO, H, Me, 2-thenoyl, MeO, -; tert-BuO, Me, Me, p-BrC6H4CO, MeO, 103-5°; MeO, H. Me, α-naphthoyl, MeO, -; MeO, H, Me, p-PhCH2OC6H4CO, MeO, 116-18°; MeO, H, Me, p-HOC6H4CO, MeO, 155-8° (prepd. from the p-benzyloxybenzoyl compd. by catalytic hydrogenation over Pd); MeO, H, Me, o-PhCH2OC6H4CO, MeO, -; MeO, H, Me, o-HOC6H4CO, MeO, -; MeO, H, Me, o-FC6H4CO, MeO, 98-9°; OH, H, Me, 2-thenoyl, MeO, 62°; MeO, H, Me, α-naphthoyl, MeO, 120-4°; MeO, H, Me, 5-chloro-2-thenoyl, MeO, -; OH, H, Me, p-F3CC6H4CO, MeO, 169-71°; MeO, H, Me, 2,6-(MeO)2C6H3CO, MeO, 139.5-41°; MeO, H, Me, 2,4-Cl2C6H3CO, MeO, -; NMe2, H, Me, A, MeO, 179.5-80.5°; HOCH2CH2NH, H, Me, A, MeO, 137-8°; PhCH2NH, H, Me, A, MeO, -; Et2NCH2CH2NH, H, Me, A, MeO, 110-11.5°; PhCH2O2CCH2NH, H, Me, A, MeO (Ik), 133-4.5°; and morpholino, H, Me, A, F, 168-70°.

IT 17723-97-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 17723-97-6 CAPLUS

CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-2-(phenylmethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L3 ANSWER 29 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1967:490668 CAPLUS
DOCUMENT NUMBER: 67:90668
ORIGINAL REFERENCE NO.: 67:17059a,17062a
TITLE: Preparation of 1-acyl-3-alkoxycarbonyl alkyl-substituted indoles
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.
SOURCE: Neth. Appl., 37 pp.
CODEN: NAXXAN
DOCUMENT TYPE: Patent
LANGUAGE: Dutch
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6605169	A	19661020	NL 1966-5169	19660418
JP 49045386	B	19741204	JP 1965-24929	19650426
JP 49045387	B	19741204	JP 1965-24930	19650426
NO 122185	B	19710601	NO 1966-162587	19660414
DE 1795613	A	19720330	DE 1967-1795613	19660415
DE 1793678	A	19720525	DE 1967-1793678	19660415
DE 1795671	A	19730412	DE 1967-1795671	19660415
SE 311360	B	19690609	SE 1966-5260	19660418
AT 277211	B	19691210	AT 1967-6440	19660418
CH 517077	A	19711231	CH 1966-517077	19660418
CH 517078	A	19711231	CH 1966-517078	19660418
FI 47364	B	19730731	FI 1966-995	19660418
SE 361879	B	19731119	SE 1968-17388	19660418
CS 152996	B2	19740222	CS 1966-2555	19660418
CS 152995	B2	19740222	CS 1972-1101	19660418
DK 135232	B	19770321	DK 1966-1976	19660418
BR 6786194	D0	19731226	BR 1967-186194	19670116
DK 123977	B	19720828	DK 1968-1568	19680408
DK 127639	B	19731210	DK 1968-1569	19680408
NO 127863	B	19730827	NO 1970-1613	19700427
FI 53307	C	19780410	FI 1971-672	19710308
FI 48834	B	19740930	FI 1972-459	19720221
NL 157904	B	19780915	NL 1973-281	19730109

PRIORITY APPLN. INFO.:

JP 1965-23078	A	19650419
JP 1965-24928	A	19650426
JP 1965-24929	A	19650426
JP 1965-24930	A	19650426
JP 1965-73856	A	19651130
JP 1965-73857	A	19651130
JP 1965-75430	A	19651207
JP 1965-75792	A	19651208
JP 1965-75793	A	19651208
JP 1965-81794	A	19651229

L3 ANSWER 29 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

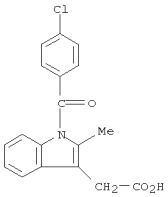
JP 1965-81795	A	19651229
JP 1965-81796	A	19651229
JP 1966-3187	A	19660120
JP 1966-5754	A	19660131
JP 1966-7276	A	19660207
JP 1966-7277	A	19660207
NO 1966-162587	A	19660414
FI 1966-995	A	19660418
NL 1966-5169	A3	19660418

GI For diagram(s), see printed CA Issue.

AB Th = thienyl, Py = pyridyl, Fu = furyl, and d = decomposition throughout this abstract. The title compds. (I) are antinflammatory, antipyretic and analgetic agents. I are prepared by the reaction of N-acylated phenylhydrazine (II) with an oxo acid R2COCH2(CHR')m(CH2)n(CHR")COR"'. II is obtained by decomposition of hydrazone (III), which is obtained by acylation of IV with ArCOX (X is halogen or ester residue). Thus, to a solution of 12 g. IV (R3 = p-MeO, R4 = H, R5 = Me) in 30 ml. pyridine, 15 g. 4-ClC6H4COCl is added dropwise with ice cooling. The reaction mixture is left at room temperature and poured into ice-H2O to give 19 g. III (R3 = p-MeO, R4 = H, R5 = Me, Ar = p-ClC6H4), m. 107-8° (EtOH, H2O). To a solution of 3.4 g. IV (R3 = p-MeO, R4 = CH2CH2CO2Me, R5 = Me) in 15 ml. C5H5N, 2.8 g. 4-ClC6H4COCl is added with ice-cooling. The mixture is left at room temperature and poured into ice-H2O to give 2.5 g. II (R3 = p-MeO, Ar = p-ClC6H4), m. 131-2°. A solution of 9.5 g. V in 80 ml. EtOH is saturated with HCl. The mixture is left at ambient temperature, concentrated, and worked up to give VI. A solution of 4.9 g. VI and 17.6 g. levulinic acid is heated 3 hrs. at 75°. [TABLE OMITTED] The mixture is left at ambient temperature and poured into H2O to give 5.8 g. I (Ar = 3-Py, R2 = Me, R3 = 5-MeO, m = p = 0, n = 1, R" = OH) (VII), m. 187-9° (Me2CO, H2O) (method a). In method b AcOH is used as the solvent. A mixture of 9 g. VI, 4.2 g. Me levulinate, and 40 ml. MeOH is refluxed 5 hrs. with stirring. The MeOH is evaporated in vacuo and the precipitate worked up to give VII Me ester (VIII), m. 113-15° (MeOH) (method c). A mixture of 1 g. II.HCl (Ar = p-ClC6H4, R3 = p-MeO) and 1 g. acetonylmalonic acid is heated 5 min. at 145°, the mixt. cooled slowly, and 2 ml. AcOH and 5 ml. H2O are added. The ppt. is worked up to give 0.6 g. IX (method d). A mixt. of 9.0 g. VI, 4.5 g. levulinic acid, and 60 ml. MeOH is refluxed 16 hrs. The MeOH is distd. and the residue worked up to give VIII (method e). III (R3 = p-MeO, Ar = p-ClC6H4, R4 = H, R5 = Me) (IIIa) (9.1 g.) is added to 50 g. levulinic acid, and 1.46 g. dry HCl gas is passed with ice-cooling. The mixt. is heated slowly and refluxed 1.5 hrs. H2O is added to give a resin, which is dissolved in EtOH and CHCl3. Work up gives IX (method f). Similarly, heating a mixt. of 4.9 g. IIIa, 4.8 g. acetonylmalonic acid, 10 ml. AcOH, and 0.8 g. dry HCl at 80-100° with stirring, gives IX (method g). [TABLE OMITTED] The I prepd. are listed in the 2nd table.

IT 16390-26-4P 16401-80-2P 16401-81-3P 16401-83-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 16390-26-4 CAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-2-methyl- (CA INDEX NAME)



RN 16401-80-2 CAPLUS
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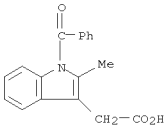

RN 16401-81-3 CAPLUS
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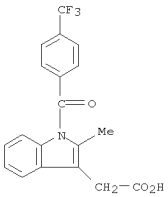

RN 16401-83-5 CAPLUS
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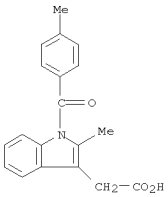

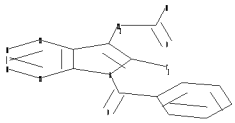

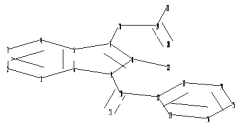

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ring bonds :
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16-17
exact/norm bonds :
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exact bonds :
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normalized bonds :
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G1:X,CH3,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,CF3,CCl2,CCl3,CBr3

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS

L4 STRUCTURE UPLOADED

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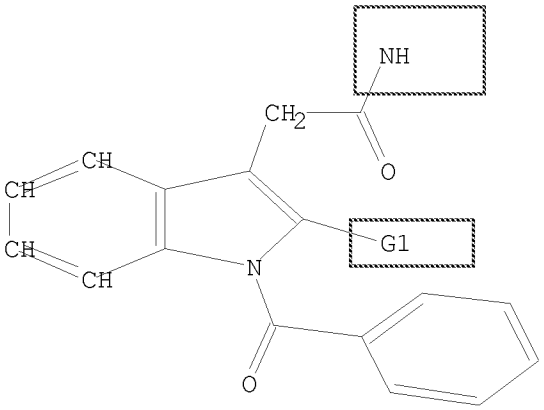
L4 HAS NO ANSWERS
L4 STR



G1 X,Me,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,CF3,CCl2,CCl3,CBr3

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 60 TO ITERATE

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SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 736 TO 1664
PROJECTED ANSWERS: 2 TO 124

L5 2 SEA SSS SAM L4

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FULL SCREEN SEARCH COMPLETED - 1133 TO ITERATE

100.0% PROCESSED 1133 ITERATIONS 10 ANSWERS
SEARCH TIME: 00.00.01

L6 10 SEA SSS FUL L4

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FILE LAST UPDATED: 13 Aug 2009 (20090813/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2009.

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The ALL, BIB, MAX, and STD display formats in the CA/CAplus family of databases have been updated to include new citing references information. This enhancement may impact record import into database management software. For additional information, refer to NEWS 9.

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=> S L6
L7            4 L6

=> D IBIB ABS HITSTR TOT
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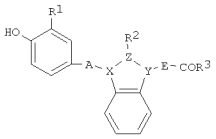
L7 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:854353 CAPLUS
DOCUMENT NUMBER: 151:164334
TITLE: Indole compounds and pharmaceutical compositions containing them for treatment of diseases through thyroid hormone receptor-mediated control of cell functions
INVENTOR(S): Maeda, Koji; Asano, Yukiyasu; Tsuruta, Nobuaki; Murase, Toru; Tajima, Nobumitsu
PATENT ASSIGNEE(S): Sanwa Kagaku Kenkyusho Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 60pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2009155261	A	20090716	JP 2007-334943	20071226
PRIORITY APPLN. INFO.:			JP 2007-334943	20071226

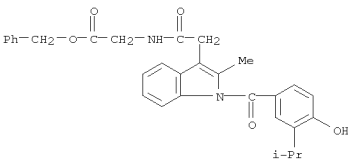
GI



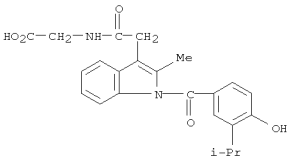
I

AB Indole compds. I [A = CH2, CO; XZY = NC:C, C:CN; R1 = halo, c1-6 alkyl, c1-6 alkoxy, (un)substituted Ph, Ph in which C atom may be replaced with CO or CHR4 (R4 = H, OH); R2 = H, halo, C1-6 (halo)alkyl; E = none, C1-6 alkylene, C2-6 alkenylene, (CH2)mCONR5CHR6(CH2)n, (CH2)mCO(CH2)n, CH2CH(OH)CH2, CH2CH(NH2); m, n = 0-2; R5 = H, C1-5 alkyl; R6 = H, C1-6 alkyl, CH2OCH2Ph, CH2OH; R3 = OH, C1-6 alkoxy], their prodrugs, or their pharmaceutically-acceptable salts are useful for prevention or treatment of diseases or disorders whose symptoms are relieved by thyroid hormone receptor-mediated control of cell functions, e.g. hyperlipemia, obesity, hypothyroidism, hyperthyroidism, goiter, thyroid cancer, arrhythmia, congestive cardiac failure, diabetes, depression, osteoporosis, skin disorders, glaucoma, alopecia, etc. Thus, I [R1 = CHMe2, R2 = Br, XZY = NC:C, E = (CH2)3,R3 = OH] inhibited binding of T3 to recombinant human TRβ with IC50 46 nM.
IT 1170719-03-5P 1170719-18-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

L7 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(Uses)
(prepn. of indole compds. as thyroid hormone receptor ligands for treatment of diseases through thyroid hormone receptor-mediated control
of cell functions)
RN 1170719-03-5 CAPLUS
CN Glycine,
N-[2-[1-[4-hydroxy-3-(1-methylethyl)benzoyl]-2-methyl-1H-indol-3-yl]acetyl]-, phenylmethyl ester (CA INDEX NAME)



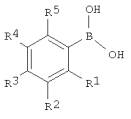
RN 1170719-18-2 CAPLUS
CN Glycine,
N-[2-[1-[4-hydroxy-3-(1-methylethyl)benzoyl]-2-methyl-1H-indol-3-yl]acetyl]- (CA INDEX NAME)



L7 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:292480 CAPLUS
DOCUMENT NUMBER: 150:306765
TITLE: Method for the organocatalytic activation of carboxylic acids for chemical reactions using ortho-substituted arylboronic acids
INVENTOR(S): Hall, Dennis; Marion, Olivier; Al-Zoubi, Raed
PATENT ASSIGNEE(S): The Governors of the University of Alberta, Can.
SOURCE: PCT Int. Appl., 34pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009030022	A1	20090312	WO 2008-CA1554	20080905
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			US 2007-970083P P	20070905

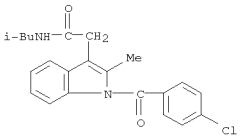
OTHER SOURCE(S): CASREACT 150:306765; MARPAT 150:306765
GI



I

AB The present disclosure describes operationally simple methods for the low temperature, catalytic activation of carboxylic acids for organic reactions, in
particular for direct amidation reactions with amines. The methods involve the use of ortho-substituted arylboronic acids I (R1 = halo, C1-4 alkyl, C6-10 aryl, NO2, CN, CO2H, C(O)C1-4-alkyl, CO2C1-4-alkyl, OC1-4-alkyl, SC1-4-alkyl, OC6-10-aryl, S(O)C1-4-alkyl, SO2C1-4-alkyl, OCF3, etc.; R2-R5 = H, halo, C1-4-alkyl, C6-10-aryl, CO2H, C(O)C1-4-alkyl,
CO2C1-4-alkyl, OC1-4-alkyl, SC1-4-alkyl, OC6-10-aryl, S(O)C1-4-alkyl, SO2C1-4-alkyl, etc.). In preferred embodiments R1 is halogen. The arylboronic acids catalyze nucleophilic 1,2-addition reactions, conjugate

L7 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
1,4-addn. reactions, and cycloaddn. reactions, including Diels-Alder reactions involving α,β- unsatd. carboxylic acids.
IT 1126895-85-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(method for organocatalytic activation of carboxylic acids for chemical
reactions using ortho-substituted arylboronic acids catalysts)
RN 1126895-85-9 CAPLUS
CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-2-methyl-N-(2-methylpropyl)- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1075559 CAPLUS
DOCUMENT NUMBER: 143:367205
TITLE: Preparation of compounds, especially indoles and biphenyls, useful for treating neurodegenerative disorders, particularly Alzheimer's disease and other amyloid β42 protein-related disorders
INVENTOR(S): Slade, Rachel M.; Weiner, Warren S.; Delmar, Eric G.; Klimova, Yevgeniya I.; Trovato, Richard
PATENT ASSIGNEE(S): Myriad Genetics, Inc., USA
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005092062	A2	20051006	WO 2005-US9595	20050321
WO 2005092062	A3	20060803		

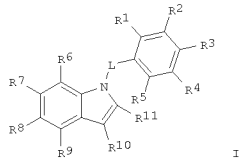
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 20090155903	A1	20090618	US 2008-593180		20081114
PRIORITY APPLN. INFO.:			US 2004-554571P	P	20040319
			US 2004-590259P	P	20040722
			WO 2005-US9595	W	20050321

OTHER SOURCE(S): CASREACT 143:367205; MARPAT 143:367205
GI

L7 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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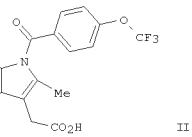


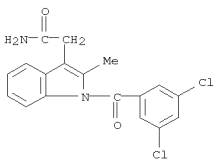
II

AB Title compds., e.g. I, [L = C:O, CH2; R1, R2, R4-R7, R9 = independently H, OH, halo, halo/alkyl, etc.; R3 = CHF2, CF3, OCF3, OCHF2; R8 = H, halo, alkoxy, etc.; R10 = alkenylene-CO2H, alkylene-CO2H, alkynylene-CO2H; R11 = alkyl; and their pharmaceutically acceptable salts] were prepared as lowering cellular amyloid β42 protein (Aβ42) production and/or secretion agents useful for the therapeutic treatment and prevention of neurodegenerative disorders such as Alzheimer's disease, mild cognitive impairment, dementia etc. For example, II was prepared in 3 steps from [5-tert-butyl-2-methyl-1H-indol-3-yl]acetic acid and 4-trifluoromethoxybenzoyl chloride. Selected I were found to not significantly inhibit COX-1 and COX-2 at 100 μM. In amyloid precursor protein assays, selected I lowered Aβ42 levels by at least 50% of DMSO control at concentration ranging from 30 to 80 μM.

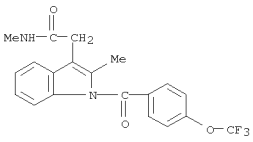
IT 1043502-32-4 1043502-54-0
RL: PRPH (Prophetic)
(Preparation of compounds, especially indoles and biphenyls, useful for treating neurodegenerative disorders, particularly Alzheimer's disease and other amyloid β42 protein-related disorders)

RN 1043502-32-4 CAPLUS
CN 1H-Indole-3-acetamide, 1-(3,5-dichlorobenzoyl)-2-methyl- (CA INDEX NAME)

L7 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 1043502-54-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:675710 CAPLUS
DOCUMENT NUMBER: 141:190512
TITLE: A preparation of 2-arylacetic acid derivatives, useful for the treatment of IL-8 mediated diseases
INVENTOR(S): Moriconi, Alessio; Allegretti, Marcello; Bertini, Riccardo; Cesta, Maria Candida; Bizzarri, Cinzia; Colotta, Francesco
PATENT ASSIGNEE(S): Dompe' S.p.A., Italy
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004069782	A2	20040819	WO 2004-EP1021	20040204
WO 2004069782	A3	20040916		

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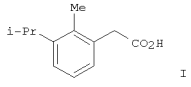
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004210082	A1	20040819	AU 2004-210082		20040204
CA 2511582	A1	20040819	CA 2004-2511582		20040204
EP 1590314	A2	20051102	EP 2004-707926		20040204

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

CN 1768026	A	20060503	CN 2004-80008741	20040204
JP 2006516592	T	20060706	JP 2006-503733	20040204
RU 2356887	C2	20090527	RU 2005-127777	20040204
US 20060223842	A1	20061005	US 2005-541429	20050705
NO 2005004017	A	20050830	NO 2005-4017	20050830
PRIORITY APPLN. INFO.:			EP 2003-2716	A 20030206
			WO 2004-EP1021	W 20040204

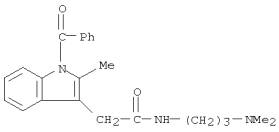
OTHER SOURCE(S): MARPAT 141:190512
GI



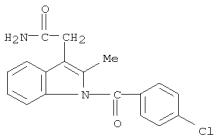
I

AB The invention relates to a preparation of 2-arylacetic acid derivs. of formula

L7 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
A-CH2C(O)-Y [wherein: A is a 5 to 6 membered (hetero)arom. ring where heteroatom is selected from N, O, S, etc.; the 5-6 membered (hetero)arom. ring is optionally fused with a second ring; Y is NH2, NH-(cyclo)alkyl, or NH-cycloalkenyl, etc.], useful in inhibiting chemotactic activation of neutrophils (PMN leukocytes) induced by the interaction of Interleukin-8 (IL-8) with CXCR1 and CXCR2 membrane receptors. The compds. are used for the prevention and treatment of pathologies deriving from said activation.
In particular, o-substituted arylacetic acid derivs., such as amides and sulfonamides, lack cyclo-oxygenase inhibition activity and are particularly useful in the treatment of neutrophil-dependent pathologies such as psoriasis, ulcerative colitis, or melanoma, etc. For instance, prepd. in the example 2 acetic acid deriv. I (10-8M) showed 62% (IL-8) and 5% (GRO-α) inhibitory activity on CXCR1 and CXCR2 receptors.
IT 740839-36-5P 740839-56-9P 740839-57-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylacetic acids useful for the treatment of IL-8 mediated diseases)
RN 740839-36-5 CAPLUS
CN 1H-Indole-3-acetamide, 1-benzoyl-N-[3-(dimethylamino)propyl]-2-methyl- (CA INDEX NAME)

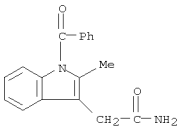


RN 740839-56-9 CAPLUS
CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-2-methyl- (CA INDEX NAME)



L7 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 740839-57-0 CAPLUS
CN 1H-Indole-3-acetamide, 1-benzoyl-2-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT